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(54) Substituted thiophene derivative and agricultural and horticultural fungicide containing the same as active ingredient

Substituierte Thiophenderivate und diese als aktiver Bestandteil enthaltenden Fungizide für Land- und Gartenbauwirtschaft

Dérivés de thiophènes substitués et fongicides agricoles et horticoles le contenant comme ingrédient actif

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(73) Proprietor: **MITSUI CHEMICALS, INC.**
Tokyo (JP)

(72) Inventors:
- **Yoshikawa, Yukihiro**
  Sanbu-gun, Chiba-ken 299-32 (JP)
- **Tomiya, Kanji**
  Mobara-shi, Chiba-ken, 299-41 (JP)
- **Katsuta, Hiroyuki**
  Mobara-shi, Chiba-ken 297 (JP)
- **Kawashima, Hideo**
  Mobara-shi, Chiba-ken 297 (JP)
- **Takahashi, Osamu**
  Mobara-shi, Chiba-ken 297 (JP)
- **Inami, Shunichi**
  Mobara-shi, Chiba-ken 297 (JP)
- **Yanase, Yuji**
  Mobara-shi, Chiba-ken 297 (JP)
- **Kishi, Junro**
  Mobara-shi, Chiba-ken 297 (JP)
- **Shimotori, Hitoshi**
  Chiba-shi, Chiba-ken 266 (JP)
- **Tomura, Naofumi**
  Mobara-shi, Chiba-ken 297 (JP)

(74) Representative: **Zumstein, Fritz, Dr. et al**
Dr. F. Zumstein Dipl.-Ing. F. Klingseisen
Bräuhausstrasse 4
80331 München (DE)

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Description

Background of the Invention

1) Field of the Invention

[0001] The present invention relates to a novel substituted thiophene derivative, an agricultural and horticultural fungicide containing the same as an active ingredient and a method for controlling plant disease by the same.

2) Description of Related Art

[0002] Recently developed fungicides having selective mechanism of action differ from conventionally used, nonselective fungicides for exhibiting steady effect at low dosage. However, the recent fungicides have a problem of developing chemical tolerance and leading to reduction of efficacy. For example, a benzimidazole fungicide has a broad fungicidal spectrum and exhibits excellent effect also on gray mold disease. However, such fungicide caused a drastic reduction of efficacy due to appearance of a resistant fungus against said fungicide in the 1970's. A dicarboxyimide fungicide was focused attention as a replacement of the benzimidazole fungicide. Nevertheless, a resistant fungus also appeared against the dicarboxyimide fungicide in the 1980's. Consequently, the lack of the counter measure for controlling the resistant fungus of gray mold disease has become a serious problem in Japan and also in the world.

[0003] On the other hand, an azole fungicide has a broad fungicidal spectrum and is an excellent pesticide which exhibits efficacy at hitherto unexampledly low dosage particularly for powdery mildew disease and rust disease of various crops and scab disease of apple and pear. However, a resistant fungus against this fungicide has recently appeared and also led to a problem on a sharp fall of the fungicide efficacy. Such occurence of fungicide resistant fungus has become an inevitable problem for the selective fungicide, and accordingly development of a new fungicide is now an urgent subject.

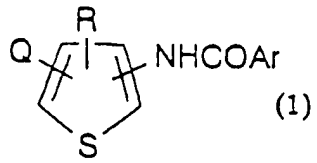
[0004] Many aromatic aniline derivatives have conventionally been known to have fungicidal activity. For example, EP-A-589 301, EP-A-545 099 have disclosed that various aniline derivatives have efficacy for gray mold disease. The present inventors have tested fungicidal activity against various plant-pathogenic fungi on the compounds disclosed in these patents. However, the disease control effect was low even in the case of gray mold disease and no efficacy was observed at all on powdery mildew disease and brown rust disease.

[0005] Consequently, the object of the invention is to provide a novel agricultural and horticultural fungicide which has a broad spectrum for pathogenic fungus of various crops and can also solve the resistant fungus which has become serious.

Summary of the Invention

[0006] As a result of an intensive research on the bioactivity of various heterocyclic amine derivatives, the present inventors have found that some kinds of aminothiophene derivative have powerful controlling effect on various plant disease and exhibit excellent controlling activity for not only a sensitive fungus but a resistant fungus of benzimidazole and dicarboxyimide fungicides, and further for the sensitive fungus and resistant fungus of an azole fungicide and that such aminothiophene derivative has high safety for crops and consequently can attain the above object. Thus the present invention has been completed.

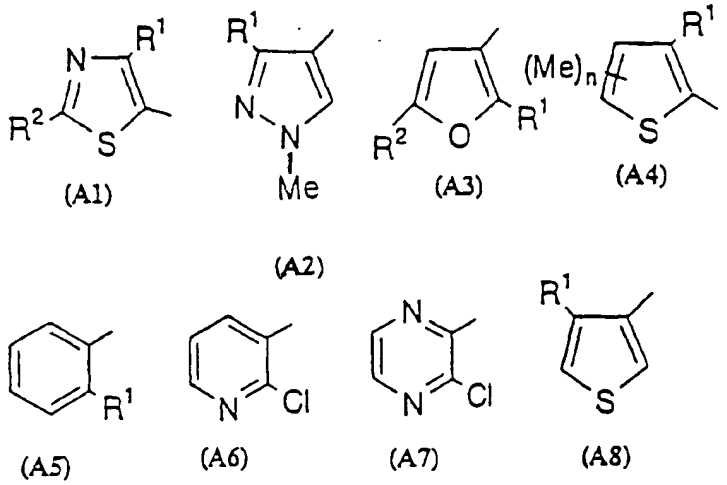
[0007] That is, the aspect of the invention is a substituted thiophene derivative represented by the general formula (1), an agricultural and horticultural fungicide comprising the same as an active ingredient and a method for controlling plant disease by the same.



(1)

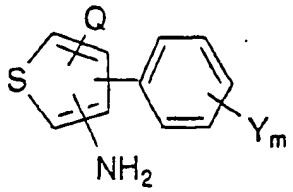
wherein Q is a hydrogen atom, fluorine atom, chlorine atom, bromine atom iodine atom, methyl group, trifluoromethyl group, methoxy group, methylthio group, methylsulfonyl group, methylsulfoxy group, cyano group, acetyl group, nitro group, alkoxycarbonyl group or amino group ; R is a straight or branched alkyl group having 1 - 12 carbon atoms,

straight or branched halogenoalkyl group having 1 - 12 carbon atoms, straight or branched alkenyl group having 2 - 10 carbon atoms, straight or branched halogenoalkenyl group having 2 - 10 carbon atoms, alkoxyalkyl group having 2 - 12 carbon atoms, alkylthioalkyl group having 2 - 10 carbon atoms, cycloalkyl group having 3 - 10 carbon atoms, halogen substituted cycloalkyl group having 3 - 10 carbon atoms, or a phenyl group which can be substituted with 1 - 3 substituents ; the substituent of said phenyl group is a hydrogen atom, alkyl group having 1 - 4 carbon atoms, alkenyl group having 2 - 4 carbon atoms, alkynyl group having 2 - 4 carbon atoms, cycloalkyl group having 3 - 6 carbon atoms, alkoxy group having 1 - 4 carbon atoms, halogenoalkoxy group having 1 - 4 carbon atoms, alkylthio group having 1 - 4 carbon atoms, alkylsulfoxy group having 1 - 4 carbon atoms, alkylsulfonyl group having 1 - 4 carbon atoms, halogen atom, cyano group, acyl group having 2 - 4 carbon atoms, alkoxycarbonyl group having 2 - 4 carbon atoms, amino group or amino group substituted with alkyl group having 1 - 3 carbon atoms ; R and the group -NHCOAr are adjacent to each other ; and Ar is a group represented by (A1) to (A8) below :



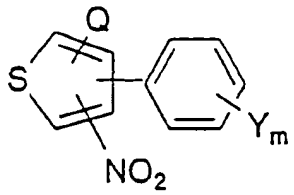
wherein R^1 is a trifluoromethyl group, difluoromethyl group, methyl group, ethyl group, chlorine atom, bromine atom or iodine atom, R^2 is a hydrogen atom, methyl group, trifluoromethyl group or amino group, and n is an integer of 0 - 2.

[0008] Another aspect of the invention is intermediates of the substituted thiophene derivative represented by the general formula (1), that is, an aminothiophene derivative represented by the general formula (2) :



(2)

wherein Q is a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, methyl group, trifluoromethyl group, methoxy group, methylthio group, methylsulfonyl group, methylsulfoxy group, cyano group, acetyl group, nitro group, alkoxycarbonyl group or amino group ; Y is a hydrogen atom, alkyl group having 1 - 4 carbon atoms, alkenyl group having 2 - 4 carbon atoms, alkynyl group having 2 - 4 carbon atoms, cycloalkyl group having 3 - 6 carbon atoms, alkoxy group having 1 - 4 carbon atoms, halogenoalkoxy group having 1 - 4 carbon atoms, atkylthio group having 1 - 4 carbon atoms, alkylsulfoxy group having 1 - 4 carbon atoms, alkylsulfonyl group having 1 - 4 carbon atom, halogen atom. cyano group, acyl group having 2 - 4 carbon atoms, alkoxycarbonyl group having 2 - 4 carbon atoms, amino group or amino group substituted with alkyl group having 1 - 3 carbon atoms, m is an integer of 1 - 3, and a phenyl group and amino group are adjacent to each other; and a nitrothiophene derivative represented by the general formula (3)



(3)

wherein Q is a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, methyl group, trifluoromethyl group, methoxy group, methylthio group, methylsulfonyl group, methylsufoxy group, cyano group, acetyl group, nitro group, alkoxycarbonyl group or amino group, Y is a hydrogen atom, alkyl group having 1 - 4 carbon atoms, alkenyl group having 2 - 4 carbon atoms, alkynyl group having 2 - 4 carbon atoms, cycloalkyl group having 3 - 6 carbon atoms, alkoxy group having 1 - 4 carbon atoms, halogenoalkoxy group having 1 - 4 carbon atoms, alkylthio group having 1 - 4 carbon atoms, alkylsulfoxy group having 1 - 4 carbon atoms, alkylsulfonyl group having 1 - 4 carbon atoms, halogen atom, cyano group, acyl group having 2 - 4 carbon atoms, alkoxycarbonyl group having 2 - 4 carbon atoms, amino group or amino group substituted with alkyl group having 1 - 3 carbon atoms, m is an integer of 1 - 3, and a phenyl group and nitro group are adjacent to each other.

Detailed Description of the Invention

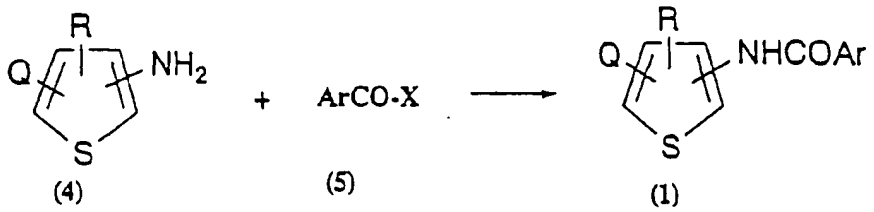
[0009] Exemplary substituent R of the thiophene derivative represented by the general formula (1) in the invention specifically include isopropyl, sec-butyl, tert-butyl, 1-methylbutyl, 1-methylhexyl, 1-ethylpropyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 1-ethyl-3-methylbutyl, 1,2-dimethylhexyl, 1,3-dimethyloctyl, 3-methylbutyl, 3-methylpentyl, 4-methyloctyl, 1,2,2,3-tetramethylbutyl, 1,3,3-trimethylbutyl, 1,2,3-trimethylbutyl, 1,3-dimethylpentyl, 1,3-dimethylhexyl, 5-methyl-3-hexyl, 2-methyl-4-heptyl, 2,6-dimethyl-4-heptyl, 1-methyl-2-cyclopropylethyl, n-butyl, n-hexyl and other straight or branched alkyl groups having 1 - 12 carbon atoms; 3-chloro-1-methylbutyl, 2-chloro-1-methylbutyl, 1-chlorobutyl, 3,3-dichloro-1-methylbutyl, 3-chloro-1-methylbutyl, 1-methyl-3-trifluoromethylbutyl, 3-methyl-1-trifluoromethylbutyl and other straight or branched halogenoalkyl groups having 1 - 12 carbon atoms; propenyl, 1-methyl-1-propenyl, 1-ethyl-1-butenyl, 2,4-dimethyl-1-pentenyl, 2,4-dimethyl-2-pentenyl and other straight or branched alkenyl groups having 2 - 10 carbon atoms; 2-chloro-1-methyl-1-butenyl and other halogenoalkenyl groups having 2 - 10 carbon atoms; cyclopropyl, cyclohexyl, 2-ethylcyclohexyl, 2-isopropylcyclohexyl and other cycloalkyl groups having 3 - 10 carbon atoms; methoxymethyl, 1-methoxyethyl, 1-ethoxyethyl, 1-methoxypropyl, 1-isopropoxyethyl and other alkoxyalkyl groups having 2 - 10 carbon atoms; methylthiomethyl, 1-methylthioethyl, 1-ethylthioethyl, 1-methylthiopropyl, 1-isopropylthioethyl and other alkylthioalkyl groups having 2 - 10 carbon atoms; 2-chlorocyclohexyl, 3-chlorocyclohexyl and other halogen substituted cycloalkyl groups having 3 - 10 carbon atoms; and phenyl groups which can be substituted with 1 - 3 substituents. Exemplary substituents of the phenyl group specifically include a hydrogen atom, methyl, ethyl, propyl, isopropyl, sec-butyl, tert-butyl and other alkyl groups having 1 - 4 carbon atoms; vinyl, isopropenyl, 1-methylpropenyl and other alkenyl groups having 2 - 4 carbon atoms; ethynyl, 1-propynyl and other alkynyl groups having 2 - 4 carbon atoms; cyclopropyl, cyclopentyl, cyclohexyl and other cycloalkyl group having 3 - 6 carbon atoms; methoxy, ethoxy, butoxy and other alkoxy groups having 1 - 4 carbon atoms; trifluoromethoxy, 1,1,2,2,2-petafluoroethoxy and other halogenoalkoxy groups having 1 - 4 carbon atoms; methylthio, ethylthio and other alkylthio groups having 1 - 4 carbon atoms: methylsulfoxy, butylsulfoxy and other alkylsulfoxy groups having 1 - 4 carbon atoms; methylsulfonyl, isopropylsulfonyl and other alkylsulfonyl groups having 1 - 4 carbon atoms; a halogen atom such as fluorine, chlorine, bromine and iodine, cyano group; acetyl, propionyl and other acyl groups having 2 - 4 carbon atoms; methoxycarbonyl, ethoxycarbonyl and other alkoxycarbonyl groups having 2 - 4 carbon atoms; an amino group; and dimethylamino, diethylamino, propylamino and other substituted amino groups having alkyl groups of 1 - 3 carbon atoms. The substituent R is preferably branched alkyl groups having 3 - 12 carbon atoms.

[0010] Exemplary Ar groups specifically include a 5-thiazolyl group wherein the 4-position is substituted with a trifluoromethyl, difluoromethyl, methyl or ethyl group, or a fluorine, chlorine, bromine or iodine atom, and the 2-position can be substituted with a methyl, trifluoromethyl or amino group, for example, 2-methyl-4-trifluoromethyl-5-thiazolyl, 2-methyl-4-difluoromethyl-5-thiazolyl, 2-methyl-4-chloro-5-thiazolyl, 2-methyl-4-iodo-5-thiazolyl, 4-trifluoromethyl-5-thiazolyl and 2,4-dimethyl-5-thiazolyl group; a 4-pyrazolyl group wherein the 3-position is substituted with a trifluoromethyl, difluoromethyl, methyl or ethyl group or a fluorine, chlorine, bromine or iodine atom and the 1-position is substituted with methyl group, for example, a 1-methyl-3-trifluoromethyl-4-pyrozolyl, 1-methyl-3-difluoromethyl-4-pyrazolyl, 1,3-dimethyl-4-pyrazolyl, 1-methyl-3-chloro-4-pyrazolyl, 1-methyl-3-bromo-4-pyrazolyl, and 1-methyl-3-iodo-4-pyrazolyl group; a 3-furyl group wherein the 2-position is substituted with a trifluoromethyl, difluoromethyl, methyl or

ethyl group or a chlorine, bromine or iodine atom and the 5-position can be substituted with a methyl or trifluoromethyl group, for example, a 2-methyl-3-furyl and 2,5-dimethyl-3-furyl group; a 2-thienyl group wherein the 3-position is substituted with a trifluoromethyl, difluoromethyl, methyl or ethyl group or a chlorine, bromine or iodine atom and the 4- or 5-position can be substituted with a methyl group, for example, 3-methyl-2-thienyl, 3-chloro-2-thienyl, 3-iodo-2-thienyl, and 3,4-dimethyl-2-thienyl group; a phenyl group wherein the 2-position is substituted with a trifluoromethyl, difluoromethyl, methyl or ethyl group or a fluorine, chlorine, bromine or iodine atom; a 2-chloronicotinyl group; a 3-chloro-2-pyrazinyl group; and a 3-thienyl group wherein the 4-position is substituted with a trifluoromethyl, difluoromethyl, methyl or ethyl group or a chlorine, bromine or iodine atom, for example, a 4-methyl-3-thienyl and 4-chloro-3-thienyl group. Ar is preferably a 4-pyrazolyl group wherein the 3-position is substituted with a trifluoromethyl, difluoromethyl, methyl or ethyl group or a fluorine, chlorine, bromine or iodine atom and the 1-position is substituted with methyl group.

[0011] Q is preferably hydrogen atom.

[0012] The substituted thiophene derivative of the invention represented by the general formula (1) is a novel compound and can be prepared by the process according to the following reaction formula which is similar to a known process, that is, by reacting substituted aminothiophene with carboxylic halide represented by the general formula (5) in a molten state or in a solvent.



wherein Q, R and Ar are the same as above, X is a chlorine, bromine or iodine atom.

[0013] Any solvents which are inert in the reaction can be used for the reaction. Representative solvents include, for example, hexane, petroleum ether and other aliphatic hydrocarbons; benzene, toluene, chlorobenzene, anisole and other aromatic hydrocarbons; dioxane, tetrahydrofuran, diethyl ether and other ethers; acetonitrile, propionitrile and other nitriles; ethyl acetate and other esters; dichloromethane, chloroform, 1,2-dichloroethane and other halogenated hydrocarbons; and dimethylformamide, dimethyl sulfoxide and other aprotic solvents. These solvents can be used singly or as a mixture.

[0014] The reaction can also be carried out in the presence of a base. Exemplary bases include, for example, sodium hydroxide, potassium hydroxide, calcium hydroxide and other alkali metal and alkali earth metal hydroxides; calcium oxide, magnesium oxide and other alkali metal and alkali earth metal oxides; sodium hydride, calcium hydride and other alkali metal and alkali earth metal hydrides; lithium amide, sodium amide and other alkali metal amides; sodium carbonate, potassium carbonate, calcium carbonate, magnesium carbonate and other alkali metal and alkali earth metal carbonates; sodium hydrogen carbonate, potassium hydrogen carbonate and other alkali metal and alkali earth metal hydrogen carbonates; methyl lithium, butyl lithium, phenyl lithium, methyl magnesium and other alkali metal and alkali earth metal alkyls sodium methoxide, sodium ethoxide, potassium, t-butoxide, dimethoxy magnesium and other alkali metal and alkali earth metal alkoxides; triethylamine, pyridine, N,N-dimethylaniline, N-methyl-piperidine, lutidine, 4-dimethylaminopyridine and other various organic bases. Triethylamine and pyridine are particularly preferred.

[0015] No particular limitation is imposed upon the amount of these bases. The amount used is preferably in excess of 5 - 20 % by mole for the amount of carboxylic halide represented by the general formula (5).

[0016] In the above reaction, substituted aminothiophene represented by the general formula (4) and carboxylic halide represented by the general formula (5) are generally used in an amount of equal mole. In order to improve the yield, one material is sometimes used in excess of 1 - 20 % by mole for the other material. The reaction temperature is usually -20 - 150°C, preferably 0 - 40°C.

[0017] No particular restriction is put upon the reaction time. The reaction time is commonly 30 minutes to 5 hours.

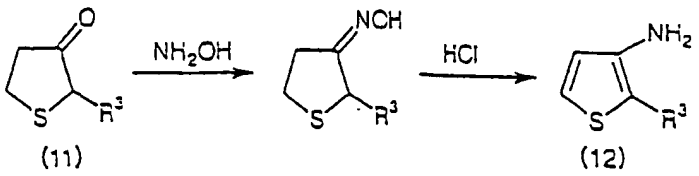
[0018] Next, preparation process of the compounds represented by the general formula (4) which are intermediates of the invention will be illustrated.

1) Preparation of 2-substituted 3-aminothiophene (when the substituent is not phenyl group)

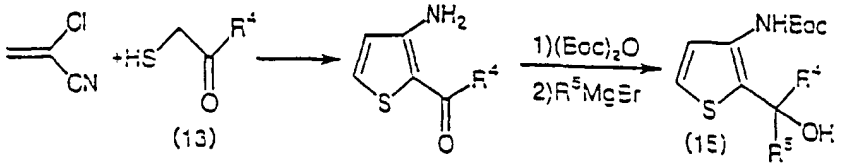
[0019] The compounds are prepared, for example, by the process as shown by the following reaction formula wherein Ar is the above meaning, R^3 is a straight or branched alkyl group, halogenoalkyl, alkylthioalkyl, alkyloxyalkyl, cycloalkyl and halogen substituted cycloalkyl group, R^4 is a straight or branched alkyl group, alkylthioalkyl and cycloalkyl group

and R^5 is an alkyl group. However, no restriction is imposed upon the preparation processes.

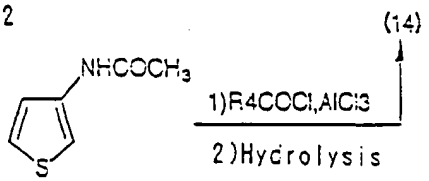
Method A



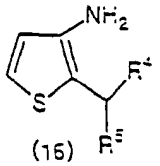
Method B-1



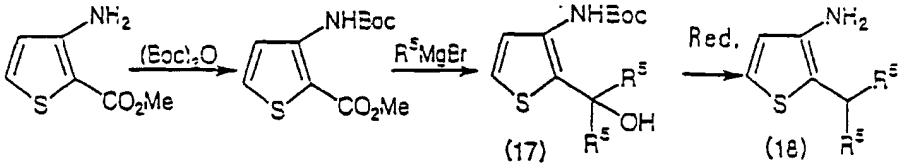
Method B-2

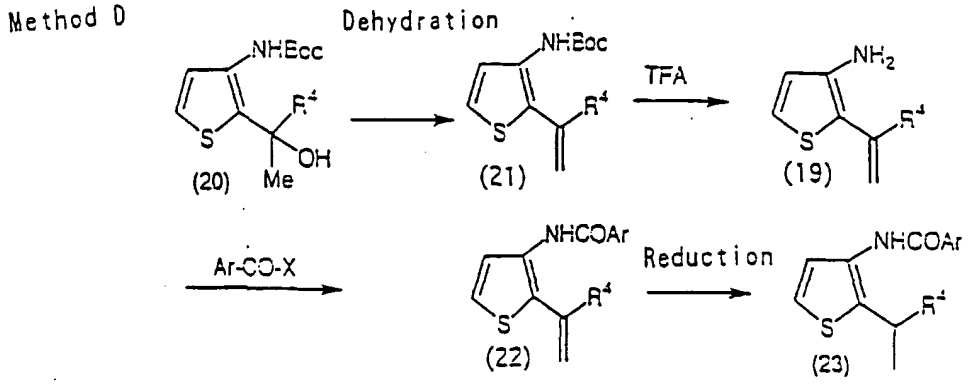


Reduction



Method C





[0020] Process A is the process for converting 2-substituted 3-oxotetrahydrothiophene represented by the general formula (11) to oxime by reaction with hydroxylamine hydrochloride in ethanol in the presence of barium hydroxide and successively treating the oxime with hydrogen chloride in ethyl ether to obtain the amine represented by the general formula (12) [USP 4317915; J. Org. Chem., 52, 2611(1987)].

[0021] Process B-1 and B-2 is the process for preparing 2-acyl-3-aminothiophene represented by the general formula (14) by condensation of α-chloroarylonitrile with mercaptoacetone represented by the general formula (13) [Synth. Commun., 9, 731(1979)] or by acylation and hydrolysis of 3-acetylaminothiophene (Bull Soc. chim, Fr., 1976, 151) and for protecting thus obtained 2-acyl-3-aminothiophene with a t-butyloxycarbonyl group by using di-t-butylcarbonate in the presence of triethylamine, converting the protected aminothiophene to tertiary alcohol represented by the general formula (15) with an alkylating agent such as Grignard's reagent, and successively reducing the resultant tertiary alcohol to obtain the amine represented by the general formula (16).

[0022] Process C is the process for protecting 3-aminothiophene-2-carboxylate ester with a t-butyloxycarboyl group by using di-t-butylcarbonate in the presence of triethylamine, converting the protected aminothiophene to tertiary alcohol represented by the general formula (17) with an alkylating agent such as Grignard's reagent, and successively reducing the resultant tertiary alcohol with triethylsilane in trifluoroacetic acid to obtain the amine represented by the general formula (18).

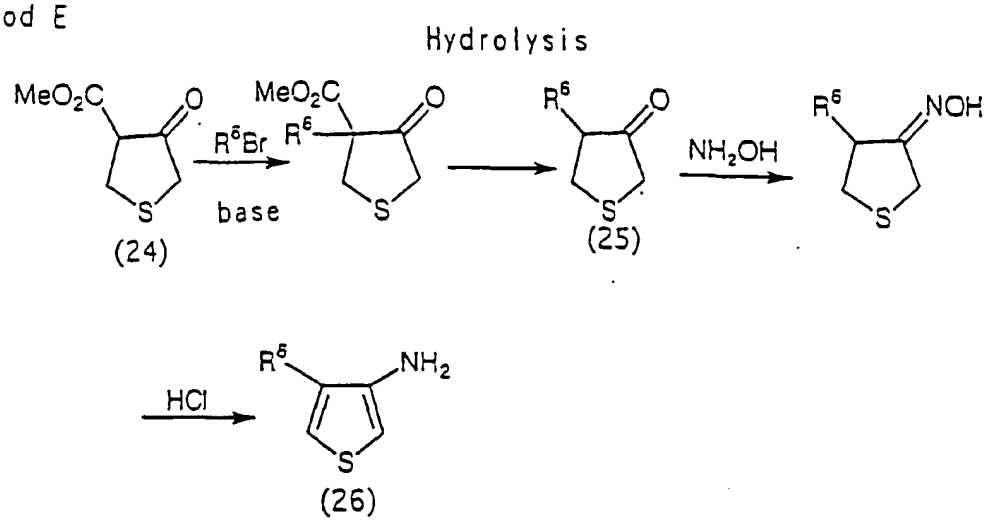
[0023] Process D is the process for dehydrating the compound represented by the general formula (20) with acetic anhydride and potassium bisulphate in dimethylformamide to the compound represented by the general formula (21) and successively releasing Boc group with trifluoroacetic acid to obtain the amine represented by the general formula (19).

[0024] Thus obtained 2-substituted 3-aminothiophene is used for preparation of the compound of the invention represented by the general formula (1). And 3-acylamino-2-alkylthiophene represented by the general formula (23) can be prepared by reducting directly 2-alkenyl-substitited 3-acylaminothiophene.

2) Preparation of 4-alkyl-3-aminothiophene

[0025] The compounds are prepared, for example, by the process as shown by the following reaction formula wherein R^6 is an alkyl group.

Method E

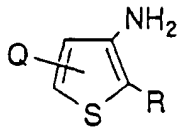


[0026] Process E is the process for alkylating 3-oxotetrahydrothiophene-4-carboxylate ester represented by the general formula (24) [USP 4317915 and J. Org. Chem.,52, 2611(1987)] with alkyl halide in the presence of potassium carbonate, hydrolyzing, decarboxylating to obtain 3-oxotetrahydrothiophene represented by the general formula (25), converting the resultant 3-oxotetrahydrothiophene to oxime with hydroxylamine-hydrochloric acid salt and barium hydroxide in ethanol and successively treating with hydrogen chloride in ethyl ether.

[0027] Thus obtained 4-alkyl-3-aminothiophene is used for preparation of the compound of the invention represented by the general formula (1).

[0028] Table 1 exemplifies 2-substituted 3-aminothiophene derivatives represented by the general formula (8). Table 2 exemplifies 4-alkyl-3-aminothiophene derivatives represented by the general formula (9).

Table 1 (2-substituted-3-aminothiophene derivatives)



(8)

R	Q	^{1}H-NMR($CDCl_3$, δ-value, J:Hz)
isopropyl	H	1.28(6H, d, J=7.3), 3.04(1H, sept, J=7.3), 3.07(2H, brs), 6.56(1H, d, J=5.9), 6.93(1H, d, J=5.9)
1-methylpropyl	H	0.92(3H, t, J=7.3), 1.25(3H, d, J=7.3), 1.53-1.67(2H, m), 2.78(1H, sext, J=7.3), 3.35(2H, brs), 6.56(1H, d, J=5.1), 6.95(1H, d, J=5.1)
(1S)-1-methylpropyl	H	0.92(3H, t, J=7.3), 1.25(3H, d, J=7.3), 1.53-1.67(2H, m), 2.78(1H, sext, J=7.3), 3.35(2H, brs), 6.56(1H, d, J=5.1), 6.95(1H, d, J=5.1)
tert-butyl	H	
n-butyl	H	
1-ethylpropyl	H	oil
1-methylbutyl	H	0.90(3H, t, J=7.3), 1.25(3H, d, J=7.3), 1.28-1.40(2H, m), 1.52-1.63(2H, m), 2.87(1H, sext, J=7.3), 3.05(2H, brs), 6.55(1H, d, J=5.9), 6.95(1H, d, J=5.9)
n-hexyl	H	
1,2-dimethylbutyl	H	0.80-0.97(6H, m), 1.08-1.19(1H, m), 1.21-1.31(3H, m), 1.42-1.63(2H, m), 2.75(1H, quint, J=6.6), 3.32(2H, brs), 6.56(1H, d, J=5.1), 6.96(1H, d, J=5.1)
1,3-dimethylbutyl	H	0.89(3H, d, J=6.6), 0.90(3H, d, J=6.6), 1.23(3H, d, J=5.1)1.35-1.65(3H, m), 2.95(1H, sext, J=6.6), 3.35(2H, brs), 6.55(1H, d, J=5.1), 6.95(1H, d, J=5.1)
1,3-dimethylbutyl	5-Cl	
1,3-dimethylbutyl	5-Me	

Table 1 (continued)

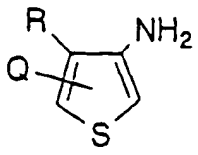
R	Q	^{1}H-NMR($CDCl_3$, δ-value, J:Hz)
1,3-dimethylbutyl	5-Br	
1,3-dimethylpentyl	H	0.81-0.86(6H,m),1.21-1.27(8H,m),3.05(1H,m),3.35(2H,brs),6.52(1H,d,J=5.2),6.95(1H,d,J=5.2)
1,3-dimethylhexyl	H	
1,2-dimethylhexyl	H	
1,3-dimethyloctyl	5-Cl	
3-methylbutyl	H	
3-methylpentyl	H	
4-methyloctyl	5-Cl	
1,2,2,3-tetramethylbutyl	H	
1,3,3-trimethylbutyl	H	0.84(9H,s),1.26(3H,d,J=6.6),1.56(2H,m),3.08(1H,m),3.40(2H,brs),6.50(1H,d,J=5.7),6.95(1H,d,J=5.7)
1,2,3-trimethylbutyl	H	
1,3-dimethylpentyl	5-Me	
1,3-dimethylhexyl	5-Me	
5-methyl-3-hexyl	H	
2-methyl-4-heptyl	H	
2,6-dimethyl-4-heptyl	H	
1,4-dimethylpentyl	H	0.83(6H,d,J=6.6),1.12-1.64(8H,m)2.91(1H,m),3.05(2H,brs),6.54(1H,d,J=4.9),6.95(1H,d,J=4.9)
1-methylpentyl	H	0.85-0.92(3H,m),1.24-1.33(6H,m),1.53-1.59(3H,m),2.83(1H,m),3.34(2H,brs),6.54(1H,d,J=4.9),6.94(1H,d,J=4.9)
1-methylhexyl	H	0.84-0.86(3H,m),1.23-1.29(11H,m)2.94(1H,m),3.32(2H,brs),6.51(1H,d,J=5.1),6.94(1H,d,J=5.1)
1-methyl-2-cyclopropylethyl	H	oil

Table 1 (continued)

R	Q	^{1}H-NMR($CDCl_3$, δ-value, J:Hz)
n-butyl	H	
3-chloro-1-methylbutyl	H	
2-chloro-1-methylbutyl	H	
1-chlorobutyl	H	
3,3-dichloro-1-methyl	H	
3-chloro-1-methylbutyl	H	
1-methyl-3-trifluoromethyl-butyl	H	
3-methyl-1-trifluoromethyl-butyl	H	
isopropenyl	H	oil
1-methylthiopropyl	H	
1-ethyl-1-butenyl	H	oil

[0029] The term "(1S)" means S-configuration at the 1-position and no description means a racemate.

Table 2 (4-substituted-3-aminothiophene dervatives)

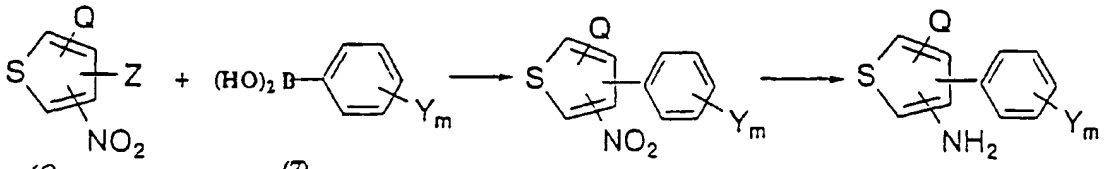


(9)

R	Q	Physical Properties
isopropyl	H	
sec-butyl	H	
tert-butyl	H	
1-ethylpropyl	H	
1-methylbutyl	H	
1,2-dimethylbutyl	H	
1,3-dimethylbutyl	H	
1,3-dimethylbutyl	5-Cl	
1,3-dimethylbutyl	5-Me	
1,3,3-trimethylbutyl	H	

3) Preparation of aminothiophene wherein R can be a substituted phenyl group

[0030] Any compounds represented by the above general formula (2) and general formula (3) are novel compounds and can be prepared by the process, for example, illustrated by the reaction formula below.



(6) (7) (3) (2)

wherein Z is halogen atom, Q is a hydrogen, fluorine, chlorine, bromine or iodine atom or a methyl, trifluoromethyl methoxy, methylthio, methylsulfonyl, cyano, acetyl, nitro, alkoxycarbonyl or amino group, Ym is a hydrogen or halogen atom or an alkyl having 1 - 4 carbon atoms, alkenyl having 2 - 4 carbon atoms, alkynyl having 2 - 4 carbon atoms, cycloalkyl having 3 - 6 carbon atoms, alkoxy having 1 - 4 carbon atoms, halogenoalkoxy having 1 - 4 carbon atoms, alkylthio having 1 - 4 carbon atoms, alkylsulfoxy having 1 - 4 carbon atoms, alkylsulfonyl having 1 - 4 carbon atoms, cyano, acyl having 2 - 4 carbon atoms, alkoxycarbonyl having 2 - 4 carbon atoms, amino or amino group substituted with an alkyl group having 1 - 3 carbon atoms, m is an integer of 1 - 3, and a phenyl group and amino group in the

general formula (3) or a phenyl group and nitro group in the general formula (2) are adjacent to each other.

[0031] That is, the nitrothiophene derivative represented by the general formula (3) can be prepared by reacting halogenonitrothiophene of the general formula (6) with a phenylboric acid derivative of the general formula (7) in the presence of a Pd catalyst and base by way of a process similar to the processes reported in, for example, Synth. Commun., 11, 813(1981), Bull. Chem. Soc. Jpn., 61, 3008(1988), Chem. Lett., 1989, 1405, and J. Hetercycle. Chem. 28, 1613(1991). However, the processes are not limited to the specific embodiments.

[0032] Any solvents which are inert in the reaction can be used for the reaction. Representative solvents include, for example, hexane, petroleum ether and other aliphatic hydrocarbons; benzene, toluene, xylene, anisole and other aromatic hydrocarbons; dioxane, tetrahydrofuran, diethyl ether and other ethers; acetonitrile, propionitrile and other nitriles; ethyl acetate and other esters; dichloromethane, chloroform, 1,2-dichloroethane and other halogenated hydrocarbons; dimethylformamide, dimethyl sulfoxide and other aprotic solvents: methanol, ethanol and other alkohols; and water. These solvents can be used singly or as a mixture.

[0033] Exemplary bases include, for example, sodium hydroxide, potassium hydroxide, calcium hydroxide and other alkali metal and alkali earth metal hydroxides; calcium oxide, magnesium oxide and other alkali metal and alkali earth metal oxides; sodium hydride, calcium hydride and other alkali metal and alkali earth metal hydrides; lithium amide, sodium amide and other alkali metal amides; sodium carbonate, potassium carbonate, calcium carbonate, magnesium carbonate and other alkali metal and alkali earth metal carbonates; sodium hydrogen carbonate, potassium hydrogen carbonate and other alkali metal and alkali earth metal hydrogen carbonates; methyl lithium, butyl lithium, phenyl lithium, methyl magnesium and other alkali metal and alkali earth metal alkyls; sodium methoxide, sodium ethoxide, potassium, t-butoxide, dimethoxy magnesium and other alkali metal and alkali earth metal alkoxides; triethylamine, pyridine, N,N-dimethylaniline, N-methyl-piperidine, lutidine, 4-dimethylaminopyridine and other various organic bases. Sodium carbonate and potassium carbonate are particularly preferred.

[0034] No particular limitation is imposed upon the amount of these bases. The amount used is preferably in 1.05 - 10 times and more preferably in 1.5 - 3 times by mole for the amount of halogenonitrothio phene represented by the general formula (5).

[0035] Exemplary Pd catalysts include $Pd(PPh_3)_4$, $PdCl_3$ and $Pd(OAc)_2$.

[0036] In the above reaction, halogenonitrothiophene represented by the general formula (6) and phenylboric acid derivative represented by the general formula (7) are generally used in an amount of equal mole. In order to improve the yield, one material is sometimes used in excess of 1 - 100 % by mole for the other material. The reaction temperature is usually from room temperature to 150°C, preferably 80 - 140°C.

[0037] No particular restriction is put upon the reaction time. The reaction time is commonly 30 minutes to 7 hours.

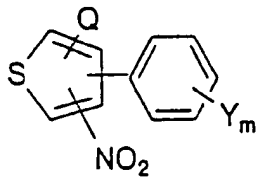
[0038] The aminothiophene derivative represented by the general formula (2) can be prepared, for example, by conducting catalytic reduction of a nitrothiophene derivative represented by the general formula (3) in methanol or ethanol in the presence of Pd/C catalyst or by reduction with Fe powder in acetic acid.

[0039] And a common method described in Shinjikkenkagaku Koza. 15, Oxidation and Reduction II [edited by Chem. Sec. Jpn, published from Maruzene Co. (1976)] can be also used for reduction of the nitrothiophene derivative represented by the general formula (2).

[0040] Table 3 exemplifies novel nitrothiophene derivatives represented by the general formula (3).

[0041] Table 4 exemplifies novel aminothiophene derivatives represented by the general formula (2).

Table 3 (phenyl-substituted nitrothiophene derivatives)



(3)

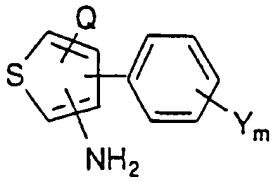
wherein Q is a hydrogen atom.

Ym	Position of nitro group	Position of phenyl group	melting point (°C)
H	3-	2-	102-103
4-Cl	3-	2-	
4-Me	3-	2-	
4-OMe	3-	2-	68-69
4-CF_3	3-	2-	67-69
3-Cl	3-	2-	107-108
4-tert.-Bu	3-	2-	oil
3,4-Cl_2	3-	2-	135-136
3,5-Cl_2	3-	2-	133-138
3-Me	3-	2-	73-74
4-Br	3-	2-	84-85
3-OMe	3-	2-	oil
3-CF_3	3-	2-	53-54
4-SMe	3-	2-	109-111
2-Cl	3-	2-	oil
3,5-Me_2	3-	2-	oil
3-F	3-	2-	80-83
3,4-F_2	3-	2-	114-116
2,4-Cl_2	3-	2-	
3-Cl-4-F	3-	2-	
2,5-Cl_2	3-	2-	
4-Cl-3-CF_3	3-	2-	

Table 3 (Continued)

Ym	Position of nitro group	Position of phenyl group	melting point (°C)
4-Cl-3-Me	3-	2-	
4-Cl	2-	3-	108-110
4-Me	2-	3-	93-94
4-OMe	2-	3-	82-84

Table 4 (phenyl-substituted aminothiophene derivatives)



(2)

wherein Q is a hydrogen atom.

Ym	Position of amino group	Position of phenyl group	M.P. (°C)	^{1}H-NMR($CDCl_3$, δ-value, J:Hz)
H	3-	2-	oil	3.85(2H, brs), 6.66(1H, d, J=5.2), 7.10(1H, d, J=5.2), 7.25(1H, m), 7.40(2H, m)7.52(2H, m)
H	2-	3-	*	
4-Cl	3-	2-	76-79	3.82(2H, brs), 6.64(1H, d, J=5.1), 7.12(1H, d, J=5.1), 7.34-7.39(2H, m), 7.43-7.48(2H, m)
4-Cl	2-	3-	*	
4-Me	3-	2-	oil	2.37(3H, s), 3.79(2H, brs), 6.54(1H, d, J=5.1), 7.09(1H, d, J=5.1), 7.22(2H, d, J=8.1), 7.41(2H, d, J=8.1)
4-Me	2-	3-	*	
3-Me	3-	2-	oil	2.38(3H, s), 3.80(2H, brs), 6.65(1H, d, J=5.9), 7.06(1H, d, J=5.9), 7.06-7.08(1H, m), 7.10(1H, d, J=5.9), 7.30-7.33(2H, m)
4-OMe	3-	2-	oil	3.77(2H, brs), 3.83(3H, s), 6.65(1H, d, J=5.9), 6.96(2H, d, J=8.8), 7.07(1H, d, J=5.9), 7.43(2H, d, J=8.8)
4-OMe	2-	3-	*	
4-CF_3	3-	2-	oil	4.00(2H, brs), 6.67(1H, d, J=5.1), 7.18(1H, d, J=5.1), 7.64(4H, s)
3-CF_3	3-	2-	oil	3.84(2H, brs), 6.67(1H, d, J=5.1), 7.17(1H, d, J=5.1), 7.45-7.55(2H, m), 7.66-7.75(1H, m), 7.76(1H, s)

Table 4 (continued)

Ym	Position of amino group	Position of phenyl group	M.P. (°C)	^{1}H-NMR($CDCl_3$, δ-value, J:Hz)
3-Cl	3-	2-	oil	3.84(2H, brs), 6.65(1H, d, J=5.1), 7.14(1H, d, J=5.1), 7.21(1H, dd, J=8.8, 1.5), 7.35(1H, dt, J=8.8, 1.5), 7.41(1H, dd, J=8.8, 1.5), 7.51-7.53(1H, m)
4-t-Bu	3-	2-	oil	1.34(9H, s), 3.78(2H, brs), 6.65(1H, d, J=5.1), 7.09(1H, d, J=5.1), 7.40-7.47(4H, m)
4-Et	3-	2-	oil	1.25(3H, t, J=7.3), 2.67(2H, q, J=7.3), 3.60(2H, brs), 6.63(1H, d, J=5.1), 7.09(1H, d, J=5.9), 7.24(2H, d, J=8.8), 7.42(2H, d, J=8.8)
4-Br	3-	2-	oil	3.77(2H, brs), 6.65(1H, d, J=5.1), 7.13(1H, d, J=5.1), 7.37-7.43(2H, m), 7.51-7.55(2H, m)
4-I	3-	2-	oil	
4-OCF_3	3-	2-	oil	3.85(2H, brs), 6.63(1H, d, J=5.1), 7.11(1H, d, J=5.1), 7.25(2H, d, J=8.1), 7.52(2H, d, J=8.1)
3-OMe	3-	2-	oil	3.83(3H, s), 3.84(2H, brs), 6.64(1H, d, J=5.1), 6.80(1H, d, J=5.9), 7.05-7.12(3H, m), 7.31(1H, t, J=7.3)
4-SMe	3-	2-	oil	2.50(3H, t), 3.75(2H, brs), 6.65(1H, d, J=5.1), 7.10(1H, t, J=5.1), 7.29(2H, dd, J=8.1, 1.5), 7.44(2H, dd, J=8.1, 1.5)
4-cyclopropyl	3-	2-	oil	
4-SO_2Me	3-	2-	oil	
2-Cl	3-	2-	oil	3.61(2H, brs), 6.66(1H, d, J=5.1), 7.20(1H, d, J=5.1), 7.26-7.33(2H, m), 7.44-7.50(2H, m)
2-Br	3-	2-	oil	

Table 4 (continued)

Ym	Position of amino group	Position of phenyl group	M.P. (°C)	^{1}H-NMR($CDCl_3$, δ-value, J:Hz)
4-F	3-	2-	oil	3.74(2H, brs), 6.65(1H, d, J=5.9), 7.07-7.13(3H, m), 7.44-7.52(2H, m)
3-F	3-	2-	oil	3.84(2H, brs), 6.65(1H, d, J=5.9), 6.90-6.97(1H, m), 7.13(1H, d, J=5.9), 7.20-7.40(3H, m)
2,4-Cl_2	3-	2-	oil	3.60(2H, brs), 6.65(1H, d, J=5.1), 7.22(1H, d, J=5.1), 7.28(1H, dd, J=8.1, 2.2), 7.40(1H, d, J=8.1), 7.50(1H, d, J=2.2)
3,4-Cl_2	3-	2-	oil	3.82(2H, brs), 6.64(1H, d, J=5.1), 7.15(1H, d, J=5.1), 7.35(1H, dd, J=8.1, 2.2), 7.45(1H, d, J=8.1), 7.62(1H, d, J=2.2)
3,5-Cl_2	3-	2-	oil	3.86(2H, brs), 6.64(1H, d, J=5.9), 7.16(1H, d, J=5.9), 7.22(1H, t, J=1.5), 7.41(2H, d, J=1.5)
3,4-F_2	3-	2-	oil	3.77(2H, brs), 6.64(1H, d, J=5.9), 7.12(1H, d, J=5.9), 7.15-7.24(2H, m), 7.31-7.38(2H, m)
3,5-Me_2	3-	2-	oil	2.35(6H, s), 3.79(2H, brs), 6.65(1H, d, J=5.1), 6.90(1H, s), 7.09(1H, d, J=5.1), 7.14(2H, s)
3-F-4-Me	3-	2-	oil	2.28(3H, d, J=1.4), 3.85(2H, brs), 6.62(1H, d, J=5.8), 7.09(1H, d, J=5.8), 7.18(1H, d, J=8.1), 7.18(1H, s), 7.20(1H, d, J=8.1)
4-F-3-Me	3-	2-	oil	2.31(3H, s), 3.72(2H, brs), 6.62(1H, d, J=5.4), 7.03(1H, t, J=8.8), 7.09(1H, d, J= 5.4) 7.25-7.32(2H, m)
4-Cl-3-CF_3	3-	2-	oil	3.82(2H, brs), 6.64(1H, d, J=5.1), 7.16(1H, d, J=5.1), 7.52(1H, d, J=8.8), 7.62(1H, dd, J=8.8, 2.2), 7.84(1H, d, J=2.2)

Table 4 (continued)

Ym	Position of amino group	Position of phenyl group	M.P. (°C)	^{1}H-NMR($CDCl_3$, δ-value, J:Hz)
4-Cl-3-F	3-	2-	oil	3.83(2H, brs), 6.63(1H, d, J=5.1), 7.13(1H, d, J=5.1), 7.23-7.43(3H, m)
3-Cl-4-F	3-	2-	oil	3.77(2H, brs), 6.64(1H, d, J=5.1), 7.06-7.21(2H, m), 7.32-7.40(1H, m), 7.56(1H, d, J=7.3)
4-Cl-3-Me	3-	2-	oil	
2-i-Pr	3-	2-	oil	
3-OCF_3	3-	2-	oil	
2,5-Cl_2	3-	2-	oil	
2-Et	3-	2-	oil	
2-Me	3-	2-	oil	2.30(3H, s), 3.41(2H, brs), 6.66(1H, d, J=5.1), 7.14(1H, d, J=5.1), 7.19-7.34(4H, m)
4-ethynyl	3-	2-	oil	

* : These compounds shown by "*" were unstable, so they were used for next reaction without separation from reaction solution of catalytic reduction.

[0042] The agricultural and horticultural fungicide which comprises the compound represented by the general formula (1) of the invention as an active ingredient has an excellent disease control effect on rice diseases such as Blast (Pyricularia oryzae), Helminthosporium leaf spot(Cochliobolus miyabeanus), Sheath blight(Rhizoctonia solani), "Bakanae" disease(Gibberella fujikuroi), Wheat Powdery mildew(Erysiphe graminis f.sp.hordei; f.sp.tritici), Leaf stripe(Pyrenophora graminea), Net blotch(Pyrenophora teres), Fusarium blight(Gibberel la zeae), Stripe rust(Puccinia striiformis, Stem rust(P. graminis), Brown rust(P.recondita), Brown rust(P.hordei), Snow rot(Typhula sp.; Micronectriella nivalis), Loose smut(Ustilago tritici; U.nuda), Eye spot(Pseudocercosporella herpotrichoides), Rhynchosporium leaf blotch (Rhynchosporium secalis), Septoria leaf blotch(Septoria tritici), Glume blotch(Leptosphaeria nodorum), Grape Powdery mildew(Uncinula necator), Anthracnose(Elsinoe ampelina), Ripe rot(Glomerella cingulata), Rust(Phakopsora ampelopsidis). Apple Powdery mildew(Podosphaera leucotricha), Scab(Venturia inaequalis), Alternaria leaf spot(Alternaria mali), Rust(Gymnosporangium yamadae), Blossom blight(Sclerotinia mali), Canker(Valsa mali), Pear Black spot (Alternaria kikuchiana), Scab(Venturia nashicola), Rust(Gymnosporangium haraeanum), Peach Brown rot(Sclerotinia cinerea), Scab(Cladosporium carpophilum), Phomopsis rot(Phomopsis sp.), Persimmon Anthracnose(Gloeosporium kaki), Angular leaf spot(Cercospora kaki; Mycosphaerella nawae), Melon Powdery mildew(Sphaerotheca fuliginea),

Anthracnose(Colletotri chum lagenarium), Gummy stem blight(Mycosphaerella melonis), Tomato Early blight(Alternaria solani), leaf mold(Cladosporium fulvam), Eggplant Powdery mildew(Erysiphe cichoracoarum), Alternaria leaf spot(Alternaria japonica), White spot(Cerocosporella barassicae), Leak Rust(Puccinia allii), Beans Purple spec(Cercospora kikuchii), Sphaceloma scab(Elsinoe glycines), Pod and stem blight(Diaporthe phaseololum), Beans Anthracnose(Colletotrichum lindemuthianum), Leaf spot(Mycosphaerella personatum), Brown leaf spot(Cercospora arachidicola), Powdery mildew(Erysiphe pisi), Early blight(Alternaria solani), Net blister blight(Exobasidium reticulatum), White scab(Elsinoe leucospila), Brown spot(Alternaria longipes), Beans Powdery mildew(Erysiphe cichoracearum), Anthracnose(Colletotrichum tabacum), Cercospora leaf spot(Cercospora beticola), Black spot(Diplocarpon rosae), Powdery mildew (Sphaerotheca pannosa), Leaf blotch(Septoria chrysanthemi-indici), Rust(Puccinia horiana), Powdery mildew(Sphaerotheca humuli), Gray mold(Botrytis cinerea) and Sclerotinia rot(Sclerotinia sclerotiorum)

[0043] When the compound represented by the general formula (1) of the invention is used as an agricultural and horticultural fungicide, the technical compound can be applied as intact to the plant to be treated. However, the technical compound is generally mixed with an inert liqui carrier or solid carrier and used in the form of a dust formulation, wettable powder, flowable formulation, emulsifiable concentrate, granul and other commonly used formulations. Adjuvant can also be added when necessary in view of formulation.

[0044] The term "carrier" means a synthetic or natural, inorganic or organic material which is formulated in order to assist reach of the effective ingredient to the portion to be treated and also to make storage, transport and handling of the effective ingredient compound easy. Any solid or liquid material can be used for the carrier so long as the material is commonly used for agricultural and horticultural formulations. No particular restriction is imposed on the carrier.

[0045] Solid carriers which can be used include, for example, montmorillonite, kaolinite and other clays; diotomaceous earth, Chine clay, talc, vermiculite, gypsum, calcium carbonate, silica gel, ammonium sulfate and other inorganic materials; soy bean powder, saw dust, wheat powder and other plant organic materials and urea.

[0046] Exemplary liquid carriers include toluene, xylene, cumene and other aromatic hydrocarbons; kerosine, mineral oil and other paraffine hydrocarbons; acetone, methyl ethyl ketone and other ketones; dioxane, diethylene glycol dimethyl ether and other ethers; methanol, ethanol, propanol, ethylene glycol and other alcohols; dimethylformamide, dimethyl sulfoxide and other aprotic solvents; and water.

[0047] In order to further enhance the effect of the compound in the invention, following adjuvant can also be used singly or as a mixture depending upon the object in view of the formulation and application place.

[0048] Adjuvant which can be used include surfactants and binders which are commonly used for agricultural and horticultural formulations, for example, ligninsulfonic acid, alginic acid, polyvinyl alcohol, gum arabic and sodium CMC, and stabilizers, for example, phenol compounds, thiophenol compounds and higher fatty acid ester for oxidation inhibition, phosphoric acid salts for pH regulation and light stabilizers in some cases. These adjuvant can be used singly or in combination, when needed. Further, an indusrial fungicide or a bacteria proofing agent can also be added in a certain case in order to inhibit fungud and bacteria.

[0049] The adjuvants will be illustrated further in detail.

[0050] Exemplary adjuvants which can be used for the purpose of emulsification, dispersion, spreading, wetting, binding and stabilization include salt of ligninsulfonic acid, salt of alkylbenzenesulfonic acid, ester salt of alkylsulfate, polyoxyalkyleneal kylsulfate, ester salt of polyoxyalkylenealkylphosphate and other anionic surface active agents; polyoxyalkylene alkyl ether, polyoxalkylene alkyl aryl ether, polyoxyalkylene alkylamine, polyoxyalkylene alkylamide, polyoxyalkylene alkyl thioether, polyoxyalkylene fatty acid ester, glycerin fatty acid ester, sorbitan fatty acid ester, polyoxyalkylenesorbitan fatty acid ester, polyoxypropylenepolyoxyethylene block copolymer and other nonionic surface active agents; calcium stearate, wax and other lubricants, isopropyl hydrogen phosphate and other stabilizers; and other miscellaneous materials such as methylcellulose, carboxymethylcellulose, casein and gum arabic. However, no restriction is imposed upon these adjuvants.

[0051] The content of the compound represented by the general formula (1) in the agricultural and horticultural fungicide of the invention differs depending upon formulation and is usually 0.05 - 20 % by weight in the dust formulation, 0.1 - 80 % by weight in the wettable powder, 0.1 - 20 % by weight in the granule, 1 - 50 % by weight in the emulsifiable concentrate, 1 - 50 % by weight in the flowable formulation, and 1 - 80 % by weight in the dry flowable formulation. Preferred concentration is 0.5 - 5 % by weight in the dust formulation, 5 - 80 % by weight in the wettable powder, 0.5 - 8 % by weight in the granule, 5 - 20 by weight in the emulsifiable concentrate, 5 - 50 by weight in the flowable formulation and 5 - 50 % by weight in the dry flowable formulation.

[0052] The content of adjuvants is 0 - 80% by weight and the content of the carrier is quantity obtained by subtracting the total content of the active ingredient compound and the adjuvants from 100 % by weight.

[0053] The methods for applying the composition of the invention include seed disinfection and foliage application. However, the composition can exhibit satisfactory activity by any application method utilized by these who are skilled in the art.

[0054] Application amount and application concentration, are variant depending upon object crops, object diseases, abundance of disease damage, formulation of compound, application method and various environmental conditions.

The amount of active ingredient is usually 50 - 1000 g/hectare, preferably 100 - 500 g/hectare in spraying. When a wettable powder, flowable formulation or emulsifiable concentrate is diluted with water and sprayed, the dilution is usually 200 - 20,000 times, preferably 1,000 - 5,000 times.

[0055] The agricultural and horticultural fungicide of the invention can of cause be used in combination with other fungicides, insecticides, herbicides, plant-growth regulators and other agricultural chemicals; soil conditioners; or materials having fertilizer effect. A mixed formulation of the fungicide in the invention can also be prepared by using these materials.

[0056] Exemplary other fungicides include triadimefon, hexaconazole, prochloraz, triflumizole and other azole fungicides; metalaxyl, oxadixyl and other acyl alanine fungicides; thiophanate-methyl, benomil and other benzimidazole fungicides; manzeb and other dithiocarbamate fungicides; and TPN and sulphur.

[0057] Insecticides include, for example, fenitrothion, daiazinon, pyridafenthion, chlorpyrifos, marathon, phenthoate, dimethoate, methyl thiometon, prothiofos, DDVP, acephate, salithion, EPN and other organophosphate insecticides; NAC, MTMC, BPMC, pirimicarb, carbosulfan, methomyl and other carbamate insecticides; and ethofenprox, permethrin, fenvalerate and other pyrethroid insecticides. However, no restriction is imposed upon these materials.

Example

[0058] The compound of the invention will be further specifically illustrated by way of examples.

Example 1

Preparation of N-[2- {3-(4-tolyl)} thienyl]-3-trifluoromethyl-1-methylpyrozole-4-carboxyamide (Compound No. 3.9)

1) 2-nitro-3-(4-tolyl)thiophene

[0059] A mixture of 0.8 g of 3-bromo-2-nitrothiophene, 0.52 g of 4-tolylboric acid, 5 ml of a 2M-aqueous potassium carbonate solution, 2.5 ml of ethanol and 30 ml of toluene was stirred at room temperature for 30 minutes in a nitrogen atmosphere. Successively 0.15 g of $Pd(PPh_3)_4$ was added and refluxed by heating for 7 hours. After separating into two layers, the organic layer was washed with water and dried over anhydrous sodium sulfate. Solvent was distilled off under reduced pressure. The residue was purified by silica gel column chromatography to obtain 0.56 g (70 % yield) of the product as a yellow crystal.

^{1}H-NMR($CDCl_3$, δ value): 2.42(3H, s), 7.01(1H, d, J=5.1), 7.25(2H, d, J=8.1), 7.37(2H, d, J=8.1), 7.47(1H, d, J=5.1)

2) 2-amino-3-(4-tolyl)thiophene

[0060] After dissolving 0.5 g of 2-nitro-3-(4-tolyl)thiophene in 20 ml of dioxane, 0.25 g of 5 % pd/C was added and catalytic reduction was carried out at room temperature for 5 hours. The solution obtained was filtered to recover the catalyst and the filtrate was used as intact for the next reaction.

3) N-[2- {3-(4-tolyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxyamide

[0061] To the filtrate obtained in the above 2), 0.73 of pyridine and 0.45 of 3-trifluoromethyl-l-methylpyroazolecarbonyl chloride was added and stirred at room temperature for an hour. The reaction mixture was extracted with ethyl acetate, washed with an aqueous saturated sodium carbonate solution and dried over anhydrous sodium sulfate. Solvent was distilled off under the reduced pressure and the residue was purified by silica gel column chromatography to obtain 0.4 g of the desired product. The yield was 47 % based on 2-nitro-3-(4-tolyl) thiophene.

Example 2

Preparation of N-[2- {3-(4-chlorophenyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxyamide (Compound No. 3.2)

1) 2-nitro-3-(4-chlorophenyl)thiophene

[0062] The same procedures as described in Example 1 were carried out except that 4-tolylboric acid was replaced by 4-chlorophenylboric acid. The yield was 91 %.
m. p. : 108-110°C

^{1}H-NMR($CDCl_3$, δ value): 7.00(1H, d, J=5.1), 7.37-7.44(4H, m), 7. 50(1H, d, J=5.1)

2) 2-amino-3-(4-chlorophenyl)thiophene

[0063] The same procedures as described in Example 1 were carried out except that 2-nitro-3-(4-tolyl)thiophene was replaced by 2-nitro-3-(4-chlorophenyl)thiophene, and the filtrate thus obtained was used as intact for the next reaction.

3) N-[2- {3-(4-chlorophenyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxyamide

[0064] The same procedures as described in Example 1 were carried out except that 2-amino-3-(4-tolyl)thiophene was replaced by 2-amino-3-(4-chlorophenyl)thiophene. The yield was 41 % based on 2-nitro-3-(4-chlorophenyl)thiophene.

Example 3

Preparation of N-[3- {2-(4-chlorophenyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxyamide (Compound No. 1.61)

1) 3-nitro-2-(4-chlorophenyl)thiophene

[0065] To 50 ml of toluene, 1 g of 2-bromo-3-nitrothiophene, 0.75 g of 4-chlorophenylboric acid, 5 ml of a 2M-aqueous potassium carbonate solution and 2 ml of ethanol were added and stirred at room temperature for 30 minutes in a nitrogen atmosphere. Successively 0.28 g of $Pd(PPh_3)_4$ was added and heat-refluxed for 2 hours. The aqueous layer was separated from the reaction mixture and the organic layer was washed with water and dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure and the residue thus obtained was purified by silica gel column chromatography to obtain 1.1 g of the product as a yellow crystal. The yield was 98 %.

^{1}H-NMR($CDCl_3$, δ value): 7.29(1H, d, J=5.9), 7.43(4H, s), 7.66(1H, d, J=5.9)

2) 3-amino-2-(4-chlorophenyl)thiophene

[0066] To 20 ml of acetic acid, 1 g of 3-nitro-2-(4-chlorophenyl)-thiophene and 0.93 g of iron powder were added and heated for 2 hours at 60°C. The reaction mixture was filtered through a diatomaceous earth (Celite) layer. Ethyl acetate was added to the filtrate and extracted 5 times with a 5 % aqueous hydrogen chloride solution. The aqueous layer was neutralized with sodium hydrogen carbonate and extracted with ethyl acetate. The organic layer was dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure to obtain 0.54 g of the product as an yellow brown crystal. The yield was 62 %.

^{1}H-NMR($CDCl_3$, δ value): 3.82(2H, brs), 6.64(1H, d, J=5.1), 7.12(1H, d, J=5.1), 7.34-7.39(2H, m), 7.43-7.48(2H, m)

3) N-[3- {2-(4-chlorophenyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxyamide

[0067] To a solution containing 0.25 g of 3-amino-2-(4-chlorophenyl) thiophene and 0.38 g of pyridine in 20 ml of methylene chloride, a solution containing 0.3 g of 3-trifluoromethyl-1-methylpyrazole-4-carbonyl chloride in 5 ml of methylene chloride was dropwise added with stirring and reacted with stirring at room temperature for an hour. After finishing the reaction, the reaction mixture was successively washed with a 5 % aqueous hydrochloric acid solution, saturated sodium hydrogen carbonate solution, saturated sodium chloride solution, and dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure and the residue was purified by silica gel column chromatography to obtain 0.37 g of the desired product as a colorless crystal. The yield was 81 %.

Example 4

Preparation of N-[3- {2-(4-tolyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxyamide (Compound No. 1.68)

1) 3-nitro-2-(4-tolyl)thiophene

[0068] The same procedures as described in Example 3 were carried out except that 4-chlorophenylboric acid was

replaced by 4-tolylboric acid. The yield was 78 %.

^{1}H-NMR($CDCl_3$, δ value): 2.41(3H, s), 7.23-7.27(3H, m), 7.37(2H, dd, J=2.2, 8.1) 7.64(1H. d, J=5.9)

2) 3-amino-2-(4-tolyl)thiophene

[0069] The same procedures as described in Example 3 were carried out except that 3-nitro-2-(4-chlorophenyl)thiophene was replaced by 3-nitro-2-(4-tolyl)thiophene. The yield was 68 %.

^{1}H-NMR($CDCl_3$, δ value): 2.37(3H, s), 3.79(2H, brs), 6.54(d, J=5.1), 7.09(1H, d, J=5.1), 7.22(2H, d, J=8.1), 7.41 (2H, d, J=8.1)

3) N-[3- {2-(4-tolyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxyamide

[0070] The same procedures as described in Example 3 were carried out except that 3-amino-(4-chlorophenyl)thiophene was replaced by 3-amino(4-tolyl)thiophene. The yield was 85 %.

Example 5

Preparation of N-[3- {2-(4-methoxyphenyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxyamide (Compound No. 1.64)

1) 3-nitro-2-(4-methoxyphenyl)thiophene

[0071] The same procedures as described in Example 3 were carried out except that 4-chlorophenylboric acid was replaced by 4-methoxyphenylboric acid. The yield was 96 %.

^{1}H-NMR($CDCl_3$, δ value): 3.86(3H, s), 6.96(2H, d, J=7.3), 7.20(1H, d, J=5.1), 7.44(2H, d, J=7.3), 7.63(1H, d, J=5.1)

2) 3-amino-2-(4-methoxyphenyl)thiophene

[0072] The same procedures as described in Example 3 were carried out except that 3-nitro-2-(4-chlorophenyl)thiophene was replaced by 3-nitro-2-(4-methoxyphenyl)thiophene. The yield was 79 %.

^{1}H-NMR($CDCl_3$, δ value): 3.77(2H, brs), 3.83(3H, s), 6.65(1H, d, J=5.9), 6.96(2H, d, J=8.8), 7.07(1H, d, J=5. 9), 7. 43(2H, d, J=8.8)

3) N-[3- {2-(4-methoxyphenyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxyamide

[0073] The same procedures as described in Example 3 were carried out except that 3-amino-(4-chlorophenyl)thiophene was replaced by 3-amino-2-(4-methoxyphenyl)thiophene. The yield was 75 %.

Example 6

Preparation of N-(2-isopropenyl-3-thienyl)-3-trifluoromethyl-1-methylpyrazole-4-carboxamide (Compound No. 1.71)

1) methyl 3-(t-butoxycarbonylamino)thiophene-2-carboxylate

[0074] To a solution containing 10 g of methyl 3-aminothiophene-2-carboxylate and 7.72 g of triethylamine in 50 ml of methylene chloride, a solution containing 13.9 g of di-t-butyl dicarbonate in 20 ml of methylene chloride was dropwise added and successively, a catalytic amount of 4-dimethylaminopyridine was added. The mixture was stirring at room temperature for 5 hours. After finishing the reaction, the organic layer was separated washed with water and dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure and the precipitated crystal was filtered. The filtrate was purified by silica gel column chromatography to obtain 4.2 g of the product as a colorless crystal. The yield was 75 %.

^{1}H-NMR($CDCl_3$, δ value): 1.52(9H, s), 3. 88(3H, s), 7. 43(1H, d, J=5.1), 7.88(1H, d, J=5.1), 9.35(1H, brs)

2) 2-(1-hydroxy-1-methyl)ethyl-3-(t-butoxycarbonylamino)thiophene

[0075] In a nitrogen atmosphere, 6.5 ml of a 3M-ether solution of MeMgBr was diluted with 5 ml of THF and cooled to 10°C. A solution containing 1 g of methyl 3-(t-butoxycarbonylamino)-thiophene-2-carboxylate in 5 ml of THF was dropwise added to the above solution. The mixture was stirred at room temperature for 3 hours, successively poured into an aqueous ammonium chloride solution and extracted with ethyl acetate. The organic layer was washed with an aqueous saturated sodium chloride solution and dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure to obtain the product as yellow oil. The yield was theoretical.

^{1}H-NMR($CDCl_3$, δ value): 1.50(9H, s), 1.65(6H, s), 7.02(1H, d, J=5.1), 7.27(1H, d, J=5.1), 8.09(1H, brs)

3) 3-t-butoxycarbonylamino-2-isopropenylthiophene

[0076] To 10 ml of DMF, 1.8 g of 2-(1-hydroxy-1-methyl)ethyl-3-(t-butoxycarbonylamino)thiophene, 1.4 g of acetic anhydride and 0.06 g of potassium hydrogen sulfate were added and heated at 60°C for 2 hours. Water was added to the reaction mixture and extracted with ethyl acetate. The organic layer was washed with an aqueous saturated sodium chloride solution and dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure. The residue was purified by silica gel column chromatography to obtain 1.04 g of the product as orange oil. The yield was 60 %.

^{1}H-NMR($CDCl_3$, δ value): 1.50(9H, s), 2.11(3H, s), 5.18((1H, s), 5.27(1H, m), 6.71(1H, brs), 7.13(1H, d, J=5.9), 7.56 (1H, d, J=5.9)

4) 3-amino-2-isopropenylthiophene hydrochloride

[0077] A solution containing 0.3 g of 3-(t-butoxycarbonylamino)-2-isopropenylthiophene in 7 ml of methylene chloride was cooled to 0 °C, and 3 ml of a 4N-hydrogen chloride dioxane solution was added. The mixture obtained was used as intact for the next reaction.

5) N-(2-isopropenyl-3-thienyl)-3-trifluoromethyl-1-methylpyrazole-4-carboxamide

[0078] The above solution was cooled to 0°C and 5 ml of pyridine was added. A solution containing 0.27 g of 3-trifluoromethyl-1-methylpyrazole-4-carbonyl chloride in 5 ml of methylene chloride was dropwise added to the above mixture and stirred at room temperature for an hour. The reaction mixture was washed successively with a 5 % aqueous hydrochloric acid solution, saturated sodium hydrogen carbonate solution, aqueous saturated sodium chloride solution, and dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure and the residue was purified by silica gel column chromatography to obtain 0.12 g of the desired product as a crystal. The yield was 30 %.

Example 7

Preparation of N-[3- {2-(4-tfifluoromethylphenyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxamide (Compound No. 1.77)

1) 3-nitro-2-(4-trifluoromethylphenyl)thiophene

[0079] The same procedures as described in Example 3 were carried out except that 4-chlorophenylboric acid was replaced by 4-trifluoromethylb oric acid. The yield was theoretical.

^{1}H-NMR($CDCl_3$, δ value): 7.35(1H, d, J=5.9), 7.61(2H, d, J=8.1), 7.69-7.76(3H, m)

2) 3-amino-2-(4-trifluoromethylphenyl)thiophene

[0080] The same procedures as described in Example 3 were carried out except that 3-nitro-2-(4-chlorophenyl)thiophene was replaced by 3-nitro-2-(4-trifluoromethylphenyl)thiophene. The yield was 70 %.

^{1}H-NMR($CDCl_3$, δ value): 4.00(2H, brs), 6.67(1H, d, J=5.1), 7.18(1H, d, J=5.1), 7.64(1H, s)

3) N-[3- {2-(4-trifluoromethylphenyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxamide

[0081] The same procedures as described in Example 3 were carried out except that 3-amino-(4-chlorophenyl)thiophene was replaced by 3-amino-2-(4-trifluoromethylphenyl)thiophene. The yield was 58 %.

Example 8

Preparation of N-[3- {2-(3-chlorophenyl} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxamide (Compound No. 1.75)

1) 3-nitro-2-(3-chlorophenyl)thiophene

[0082] The same procedures as described in Example 3 were carried out except that 4-chlorophenylboric acid was replaced by 3-chlorophenylboric acid. The yield was 84 %.

^{1}H-NMR($CDCl_3$, δ value): 7.31(1H, d, J=5.9), 7.36-7.46(3H, m), 7.48(1H, s), 7.66(1H, d, J=5.9)

2) 3-amino-2-(3-chlorophenyl)thiophene

[0083] The same procedures as described in Example 3 were carried out except that 3-nitro-2-(4-chlorophenyl)thiophene was replaced by 3-nitro-2-(3-chlorophenyl)thiophene. The yield was 71 %.

^{1}H-NMR($CDCl_3$, δ value): 3.84(2H, brs), 6. 65(1H, d, J=5.1), 7.14(1H, d, J=5.1), 7.21(1H, dd, J=1. 5, 8.8), 7.35(1H, dt, J=1.5, 8.8), 7.41(1H, dd, J=1.5, 8.8), 7.51-7.53(1H, m)

3) N-[3- {2-(3-chlorophenyl)} thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxamide

[0084] The same procedures as described in Example 3 were carried out except that 3-amino-(4-chlorophenyl)thiophene was replaced by 3-amino-2-(3-chlorophenyl)thiophene. The yield was 79 %.

Example 9

Preparation of N-[3-(2-phenyl)thienyl]-4-trifluoromethyl-2-methylthiazole-5-carboxamide (Compound No. 1.62)

1) 3-nitro-2-phenylthiophene

[0085] The same procedures as described in Example 3 were carried out except that 4-chlorophenylboric acid was replaced by phenylboric acid. The yield was 85 %.

^{1}H-NMR($CDCl_3$, δ value) : 7.27(1H, d, J=5.1), 7.42-7.51(5H, m), 7.65(1H, d, J=5.1)

2) 3-amino-2-phenylthiophene

[0086] The same procedures as described in Example 3 were carried out except that 3-nitro-2-(4-chlorophenyl)thiophene was replaced by 3-nitro-2-phenylthiophene. The yield was 81 %.

^{1}H-NMR($CDCl_3$, δ value): 3.82(2H, brs), 6. 66(1H, d, J=5.1), 7.12(1H, d, J=5.1), 7.22-7.29(1H, m), 7.42(2H, dt, J=1.5, 7.3), 7.52(2H, dd, J=1.5, 7.3)

3) N-[3-(2-phenyl)thienyl]-4-trifluoromethyl-2-methylthiazole-5-carboxamide

[0087] To a solution containing 0.5 g of 3-amino-2-phenylthiophene and 0.70 g of pyridine in 30 ml of methylene chloride, a solution containing 0.79 g of 4-trifluoromethyl-2-methylthiazole-5-carbonyl chloride in 10 ml of methylene chloride was dropwise added with stirring and further stirred at room temperature for 1.5 hours. After finishing the reaction, the reaction mixture was successively washed with a 5 % aqueous hydrochloric acid solution, saturated aqueous sodium hydrogen carbonate solution, and saturated aqueous sodium chloride solution, and thereafter dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure. The residue was purified by silica gel column chromatography to obtain 0.59 g of the desired product as a colorless crystal. The yield was 51 %.

Example 10

Preparation of N-[3-(2-phenyl)thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxamide (Compound No. 1.60)

[0088] To a solution containing 0.2 g of 3-amino-2-phenylthiophene and 0.35 g of pyridine in 20 ml of methylene chloride, a solution containing 0.29 g of 3-trifluoromethyl-1-methylpyrazole-4-carbonyl chloride in 5 ml of methylene chloride was dropwise added with stirring and further stirred at room temperature for 2 hours. The reaction mixture was successively washed with a 5 % aqueous hydrocloric acid solution, saturated aqueous sodium hydrogen carbonate solution and saturated aqueous sodium chloride solution, and thereafter dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure and the residue was purified by silica gel column chromatography to obtain 0.28 g of the desired product as a colorless crystal. The yield was 66 %.

Example 11

Preparation of N-[3-(2-phenyl)thienyl]-2-chlorobenzamide (Compound No. 1.96)

[0089] To a solution containing 0.18 g of 3-amino-2-phenylthiophene and 0.35 g of pyridine in 20 ml of methylene chloride, a solution containing 0.18 g of 2-chlorobenzoyl chloride in 5 ml of methylene chloride was dropwise added with stirring and further stirred at room temperature for an hour. The reaction mixture was successively washed with a 5 % aqueous hydrogen chloride solution, saturated aqueous sodium hydrogen carbonate solution and saturated aqueous sodium chloride solution, and thereafter dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure and the residue was purified by silica gel column chromatography to obtain 0.14 g of the desired product as a colorless crystal. The yield was 47 %.

Example 12

Preparation of N-[3-(2-phenyl)thienyl]-2-chloronicotinamide (Compound No. 1.97)

[0090] To a solution containing 0.2 g of 3-amino-2-phenylthiophene and 0.35 g of pyridine in 20 ml of methylene chloride, a solution containing 0.20 g of 2-chloronicotinoyl chloride in 5 ml of methylene chloride was dropwise added with stirring and further stirred at room temperature for an hour. The reaction mixture was successively washed with a 5 % aqueous hydrochloric acid solution, saturated aqueous sodium hydrogen carbonate solution and saturated aqueous sodium chloride solution, and thereafter dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure and the residue was purified by silica gel column chromatography to obtain 0.11 g of the desired product as a colorless crystal. The yield was 39 %.

Example 13

Preparation of N-[2- {(1S)-1-methylpropyl} -3-thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxamide (Compound No. 1.2)

1) 3-amino-2- {(1S)-1-methylpropyl } thiophene (Process A)

[0091] In 50 ml of ethanol, 1.7 g of 2- {(1S)-1-methylpropyl} tetrahydrothiophene-3-one and 1.1 g of hydroxylamine hydrochloride were dissolved and 3.4 g of barium hydroxide 8 hydrate was added. The mixture was heat-refluxed for 3.5 hours. The reaction mixture was cooled and filtered to remove solid material. The solvent was distilled off from the filtrate under reduced pressure. The residue was dissolved in ethyl ether, washed with water and dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure to obtain oxime compound. The oxime compound was dissolved in 50 ml of ethyl ether, 1.7 ml of a 6.5 N-hydrogen chloride solution in methanol was added, and the mixture was stirred at room temperature for 3 hours. The reaction mixture was thereafter allowed to stand for 12 hours at the room temperature, neutralized with a saturated aqueous sodium hydrogen carbonate solution and extracted with ethyl ether, the ethyl ether layer was washed with a saturated aqueous sodium chloride solution and dried over anhydrous sodium sulfate. The solvent was distilled off under reduced pressure and the residue was purified by silica gel column chromatography to obtain 0.44 g of the product as yellow-oil. The yield was 26 %.

2) N-[2- {(1S)-1-methylpropyl} -3-thienyl]-3-trifluoromethyl-1-methylpyrazole-4-carboxamide

[0092] The same procedures as described in Example 3 were carried out except that 3-amino-2-(4-chlorophenyl)

thiophene was replaced by 3-amino-2- {(1S)-1-methylpropyl} thiophene. The yield was 75 %.

Example 14

Preparation of N- {2-(1,3-dimethylbutyl)-3-thienyl} -3-trifluoromethyl-1-methylpyrazole-4-carboxamide (Compound No. 1.13)

1) 2-(1-hydroxy-1,3-dimethylbutyl)-3-t-butoxycarbonylaminothiophene (Process B)

[0093] A tetrahydrofuran solution of 2-methylpropylmagnesiumbromide prepared from 2.9 g of 2-methylpropylbromide, 0.47 g of magnesium and 20 ml of tetrahydrofuran was cooled to 10 °C, a solution containing 1 g of 2-acetyl-3-t-butyloxycarbonylaminothiophene in 10 ml of tetrahydrofuran was dropwise added at 15°C or less, and the mixture was stirred at room temperature for 2 hours. Thereafter a saturated aqueous ammonium chloride solution was dropwise added under cooling. The reaction mixture was extracted with ethyl acetate, washed with a saturated aqueous sodium chloride solution and dried over anhydrous sodium solfate. The solvent was distilled off under reduced pressure to obtain 1.2 g of the product. The yield was 98 %.

2) 3-amino-2-(1,3-dimethylbutyl)thiophene (Process B)

[0094] In 10 ml of methylene chloride, 1.2 g of 2-(1-hydroxy-1,3-dimethylbutyl)-3-t-butoxycarbonylaminothiophene was dissolved, 0.44 g of triethylsilane and 4.3 g of trifluoroacetic acid were added, and the mixture was stirred at the room temperature for 20 hours. The reaction mixture was neutralized with a saturated aqueous sodium hydrogen carbonate solution and extracted with ethyl acetate. The organic layer was washed with a saturated aqueous sodium chloride solution and dried over anhydrous magnesium sulfate. The solvent was distilled off under reduced pressure and the residue was purified by silica gel column chromatography to obtain 0.43 g of the product as a crystal.

3) N- {2-(1,3-dimethylbutyl)-3-thienyl} -3-trifluoromethyl-1-methylpyrazole-4-carboxamide

[0095] The same procedures as described in Example 3 were carried out except that 3-amino-2-(4-chlorophenyl) thiophene was replaced by 3-amino-2-(1,3-dimethylbutyl)thiophene. The yield was 41 %.

Example 15

Preparation of N-(2-isopropyl-3-thienyl)-3-trifluoromethyl-1-methylpyrazole-4-carboxamide (Compound No. 1.1)

1) 3-amino-2-isopropylthiophene (Process C)

[0096] In 10 ml of methylene chloride, 0.9 g of 2-(1-hydroxy-1-methyl) ethyl-3-t-butoxycarbonylaminothiophene (an intermediate in Example 6) was dissolved, 0.41 g of triethylsilane and 4 g of trifluoroacetic acid were added, and the mixture was stirred at the room temperature for 20 hours. Thereafter the reaction mixture was neutralized with a saturated aqueous sodium hydrogen carbonate solution and extracted with ethyl acetate. The ethyl acetate layer was washed with a saturated aqueous sodium chloride solution and dried over anhydrous magnesium sulfate. The solvent was distilled off under reduced pressure and the residue was purified by silica gel column chromatography to obtain 0.29 g of the product as a crystal. The yield was 62 %.

2) N-(2-isopropyl-3-thienyl)-3-trifluoromethyl-1-methylpyrazole-4-carboxamide

[0097] The same procedures as described in Example 3 were carried out except that 3-amino-2-(4-chlorophenyl) thiophene was replaced by 3-amino-2-isopropylthiophene. The yield was 53 %.

Example 16

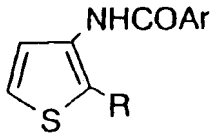
Preparation of N-(2-isopropyl-3-thienyl)-3-trifluoromethyl-1-methylpyrazole-4-carboxamide (Compound No. 1.1, Process D)

[0098] In 10 ml of methanol, 1 g of the compound prepared in Example 6 (Compound No. 1.71) was dissolved. 0.2 g of 5 % Pd/C was added, and catalytic reduction was carried out at the room temperature for 8 hours under atmospheric pressure. After finishing the reaction, the catalyst was filtered and washed with methanol. The filtrate was concentrated

under reduced pressure. The resulting oily material was sludged with hexane to obtain 0.8 g of the desired product as a crystal. The yield was 79 %.

[0099] Other compounds which are represented by the general formula (1) and were prepared by procedures similar to these examples are exemplified in Table 5 to Table 8 below.

Table 5 (2-substituted 3-acylamino-thiophene derivatives)



Compound No.	R	Ar (substituent)	m.p. (℃)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.1	isopropyl	A2(R^1=CF_3)	109-110	1.31(6H, d, J=7.3), 3.20(1H, sept, J=7.3), 3.99(3H, s), 7.11(1H, d, J=5.1), 7.47(1H, d, J=5.1), 7.56(1H, bs), 8.06(1H, s)
1.2	(1S)-1-methylpropyl	A2(R^1=CF_3)	139-140	0.89(3H, t, J=7.3), 1.30(3H, d, J=7.3), 1.59-1.69(2H, m), 2.85-2.93(1H, m), 3.99(3H, s), 7.13(1H, d, J=5.1), 7.46(1H, d, J=5.1), 7.54(1H, bs), 8.05(1H, s)
1.3	1-methylpropyl	A1(R^1=CF_3, R^2=Me)		
1.4	1-methylpropyl	A2(R^1=CF_3)	112-114	0.89(3H, t, J=7.3), 1.30(3H, d, J=7.3), 1.59-1.69(2H, m), 2.85-2.93(1H, m), 3.99(3H, s), 7.13(1H, d, J=5.1), 7.46(1H, d, J=5.1), 7.54(1H, bs), 8.05(1H, s)
1.5	(1S)-1-methylpropyl	A6	96-100	0.92(3H, t, J=7.3), 1.33(3H, t, J=7.3), 1.61-1.73(2H, m), 3.30(1H, sext, J=7.3), 7.17(1H, d, J=5.9), 7.42(1H, dd, J=8.1, 5.1), 7.50(1H, d, J=5.9), 8.14(1H, bs), 8.30(1H, dd, J=8.1, 1.5), 8.51(1H, dd, J=5.1, 1.5)
1.6	1-ethylpropyl	A2(R^1=CF_3)	126-127	0.85(6H, t, J=7.3), 1.49-1.3(2H, m), 1.71-1.81(2H, m), 2.17-2.67(1H, m), 3.99(3H, s), 7.16(1H, d, J=5.9), 7.49(1H, d, J=5.9), 7.55(1H, bs), 8.05(1H, s)

Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.7	1-methylbutyl	A1(R^1=CF_3, R^2=Me)		
1.8	1-methylbutyl	A2(R^1=CF_3)	79-80	0.88(3H, t, J=7.3), 1.23-1.8(5H, m), 1.55-1.63(2H, m), 2.98(1H, sext, J=7.3), 3.99(3H, s), 7.13(1H, d, J=5.9), 7.45(1H, d, J=5.9), 7.55(1H, bs), 8.05(1H, s)
1.9	1-methylbutyl	A7		
1.10	1,3-dimethylbutyl	A1(R^1=CF_3, R^2=Me)	oil	0.88(6H, d, J=6.6), 1.27(3H, d, J=6.6), 1.43-1.58(3H, m), 2.77(3H, s), 3.06(1H, m), 7.15(1H, d, J=5.9), 7.41(1H, d, J=5.1), 7.58(1H, brs)
1.11	1,3-dimethylbutyl	A1(R^1=CF_3, R^2=H)		
1.12	1,3-dimethylbutyl	A1(R^1=R^2=Me)		
1.13	1,3-dimethylbutyl	A2(R^1=CF_3)	103-105	0.86(6H, d, J=6.8), 1.25(3H, d, J=6.8), 1.43-1.64(3H, m), 3.08(1H, sext, J=6.8), 3.99(3H, s), 7.12(1H, d, J=5.1), 7.43(1H, d, J=5.1), 7.53(1H, bs), 8.05(1H, s)
1.14	1,3-dimethylbutyl	A2(R^1=Me)		
1.15	1,3-dimethylbutyl	A2(R^1=CHF_2)		
1.16	1,3-dimethylbutyl	A3(R^1=Me, R^2=H)		
1.17	1,2-dimethylbutyl	A3(R^1=R^2=Me)		
1.18	1,3-dimethylbutyl	A4(R^1=Me, n=0)		
1.19	1,3-dimethylbutyl	A4(R^1=Cl, n=0)		

Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.20	1,3-dimethylbutyl	A5(R'=Me)		
1.21	1,3-dimethylbutyl	A5(R'=Cl)	oil	0.87(3H, d, J=6.6), 0.88(3H, d, J=6.6), 1.28(3H, d, J=6.6), 1.43-1.65(3H, m), 3.16(1H, sext, J=6.6), 7.15(1H, d, J=5.1), 7.36-7.52(4H, m), 7.7(1H, brs), 7.83-7.86(1H, m)
1.22	1,2-dimethylbutyl	A5(R'=Cl)		
1.23	1,3-dimethylbutyl	A6	78.8-83.3	0.88(6H, d, J=5.1), 1.30(3H, d, J=6.6), 1.45-1.55(3H, m), 3.17(1H, m), 7.17(1H, d, J=5.1), 7.41-7.45(1H, m), 7.47(1H, d, J=5.1), 8.10(1H, brs), 8.30(1H, d, J=7.3), 8.52(1H, d, J=5.1)
1.24	1,2-dimethylbutyl	A2(R'=CF_3)	100-103	0.81-0.92(6H, m), 1.03-1.3(1H, m), 1.27(3H, t, J=6.6), 1.32-1.64(2H, m), 2.84(1H, quint, J=6.6), 3.99(3H, s), 7.14(1H, d, J=5.1), 7.42(1H, d, J=5.1), 7.53(1H, bs), 8.05(1H, s)
1.25	1,3-dimethylbutyl	A7		
1.26	tert-butyl	A2(R'=CF_3)		
1.27	1,3-dimethylpentyl	A2(R'=CF_3)		
1.28	1,2-dimethylhexyl	A1(R'=CF_3, R^2=Me)		
1.29	3-methylbutyl	A2(R'=CF_3)		
1.30	3-methylpentyl	A7		

Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.31	1,3-dimethyldecyl	A2(R^1=CF_3)		
1.32	1,2,2,3-tetramethyl-butyl	A2(R^1=CF_3)		
1.33	1,3,3-trimethylbutyl	A2(R^1=CF_3)	150-152	0.84(9H, s), 1.26(3H, d, J=6.6), 1.56(2H, m), 3.08(1H, m), 3.99(3H, s), 7.10(1H, d, J=5.7), 7.37(1H, d, J=5.7), 7.53(1H, brs), 8.06(1H, s)
1.34	1,3,3-trimethylbutyl	A6		
1.35	1,2,3-trimethylbutyl	A2(R^1=CF_3)		
1.36	1,3-dimethylpentyl	A2(R^1=CF_3)	96-98	0.81-0.86(6H, m), 1.21-1.7(8H, m), 3.05(1H, m), 3.99 (3H, s), 7.13(1H, d, J=5.2), 7.42(1H, d, J=5.2), 7.53 (1H, brs), 8.05(1H, s)
1.37	1,3-dimethylhexyl	A6		
1.38	5-methyl-3-hexyl	A2(R^1=CF_3)		
1.39	2-methyl-4-heptyl	A2(R^1=CF_3)		
1.40	2,6-dimethyl-4-heptyl	A6		
1.41	1-methyl-2-cyclopropylethyl	A2(R^1=CF_3)	119-123	1.18(3H, d, J=7.6), 1.59-2.13(6H, m), 2.41-2.50(1H, m) 2.85-3.02(1H, m), 3.99(3H, s), 7.12 (1H, d, J=5.2), 7.45(1H, d, J=5.2), 7.57(1H, bs), 8.06(1H, s)

Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.42	3-chloro-1-methyl-butyl	A2(R^1=CF_3)		
1.43	2-chloro-1-methyl-butyl	A2(R^1=CF_3)		
1.44	1-chlorobutyl	A6		
1.45	3,3-dichloro-1-methyl	A7		
1.46	3-chloro-1-methyl-butyl	A2(R^1=CF_3)		
1.47	3-methyl-1-trifluoromethylbutyl	A2(R^1=CF_3)		
1.48	3-methyl-1-trifluoromethylbutyl	A2(R^1=CF_3)		
1.49	1,2-dimethylbutyl	A3(R^1=Me, R^2=H)		
1.50	1,2-dimethylbutyl	A4(R^1=Me, n=1)		
1.51	1,2-dimethylbutyl	A5(R^1=Me)		
1.52	1,3-dimethylpentyl	A1(R^1=CF_3, R^2=Me)		
1.53	1,3-dimethylhexyl	A2(R^1=CF_3)		

Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.54	3-chloro-1-methylbutyl	A1(R^1=CF_3, R^2=Me)		
1.55	1-chloro-3-methylbutyl	A2(R^1=CF_3)		
1.56	cyclohexyl	A2(R^1=CF_3)		
1.57	1,3-dimethylbutyl	A2(R^1=CF_3)		
1.58	1-methylpropyl	A8(R^1=Me)		
1.59	1,3-dimethylbutyl	A8(R^1=Me)		
1.60	phenyl	A2(R^1=CF_3)	142-144	3.96(3H, s), 7.30(1H, d, J=5.1), 7.33-7.46(5H, m), 7.85 (1H, d, J=5.1), 7.87(1H, s), 7.95(1H, brs)
1.61	4-chlorophenyl	A2(R^1=CF_3)	149-150	3.97(3H, s), 7.31(1H, d, J=5.9), 7.36-7.44(4H, m), 7.77 (1H, brs), 7.80(1H, d, J=5.9), 7.97(1H, s)
1.62	phenyl	A1(R^1=CF_3)	105-108	2.73(3H, s), 7.33(1H, d, J=5.1), 7.37-7.50(5H, m), 7.87 (1H, d, J=5.1), 7.94(1H, brs)
1.63	4-chlorophenyl	A5(R^1=CF_3)		
1.64	4-methoxyphenyl	A2(R^1=CF_3)	140-142	3.85(3H, s), 3.96(3H, s), 6.97(2H, d, J=8.8), 7.25(1H, d, J=5.1), 7.36(2H, d, J=8.8), 7.80-7.83(2H, m), 7.95 (1H, s)

Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.65	4-chlorophenyl	A1(R^1=CF_3, R^2=Me)	135-137	2.74(3H, s), 7.33(1H, d, J=5.1), 7.38(2H, d, J=8.8), 7.43(2H, d, J=8.8), 7.82(1H, d, J=5.1), 7.83(1H, bs)
1.66	4-chlorophenyl	A3(R^1=R^2=Me)		
1.67	4-chlorophenyl	A4(R^1=Me, n=0)	108-110	2.49(3H, s), 6.91(1H, d, J=5.1), 7.30(1H, d, J=5.2), 7.32(1H, d, J=5.2), 7.44(4H, s), 7.70, 1H, bs), 7.85(1H, d, J=5.1)
1.68	4-tolyl	A2(R^1=CF_3)	144-146	2.39(3H, s), 3.96(3H, s), 7.23-7.35(5H, m), 7.83-7.85 (2H, m), 7.94(1H, s)
1.69	4-chlorophenyl	A6	133-136	7.22-7.46(6H, m), 7.89(1H d, J=5.1), 8.23(1H, dd, J=1.5, 8.1), 8.37-8.50(2H, m)
1.70	4-chlorophenyl	A7		
1.71	isopropenyl	A2(R^1=CF_3)	99-104	2.12(3H, s), 3.99(3H, s), 5.18(1H, s), 5.33(1H, s), 7.21 (1H, d, J=5.1), 7.78(1H, d, J=5.1), 7.99(1H, s), 8.01 (1H, brs)
1.72	1-methylthiopropyl	A2(R^1=CF_3)		
1.73	1-methylthiopropyl	A1(R^1=CF_3, R^2=Me)		
1.74	1-methylthioethyl	A1(R^1=CF_3, R^2=Me)		
1.75	3-chlorophenyl	A2(R^1=CF_3)	134-135	3.98(3H, s), 7.32-7.42(4H, m), 7.45(1H, s), 7.82(1H, brs), 7.83(1H, d, J=5.7), 7.99(1H, s)

Table 5 (continued)

Compound No.	R	Ar (substituent)	m. p. (℃)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.76	4-trifluoromethyl-phenyl	A1(R^1=CF_3, R^2=Me)	157-158	2.75(3H, s), 7.39(1H, d, J=5.9), 7.57(2H, d, J=8.1), 7.72(2H, d, J=8.1), 7.82-7.84(2H, m)
1.77	4-trifluoromethyl-phenyl	A2(R^1=CF_3)	137-138	4.03(3H, s), 7.37(1H, d, J=5.1), 7.58(2H, d, J=8.1), 7.70(2H, d, J=8.1), 7.78(1H, brs), 7.81(1H, d, J=5.1), 7.99(1H, s)
1.78	4-t-butylphenyl	A2(R^1=CF_3)	181-183	1.35(9H, s), 3.96(3H, s), 7.27(1H, d, J=5.9), 7.36-7.42 (2H, m), 7.44-7.48(2H, m)7.84-7.86(2H, m), 7.95(1H, s)
1.79	4-ethylphenyl	A2(R^1=CF_3)	127-129	1.26(3H, t, J=7.4), 2.68(2H, q, J=7.4), 3.96(3H, s), 7.29(2H, d, J=8.0), 7.35(2H, d, J=8.0), 7.37(1H, d, J=5.2), 7.83(1H, bs), 7.85(1H, d, J=5.2), 7.95(1H, s)
1.80	4-bromophenyl	A2(R^1=CF_3)	152-153	3.98(3H, s), 7.30-7.35(3H, m), 7.57(2H, d, J=8.8), 7.76 (1H, bs), 7.79(1H, d, J=5.1), 7.97(1H, s)
1.81	4-iodophenyl	A2(R^1=CF_3)	101-107	3.97(3H, s), 7.29-7.34(3H, m), 7.55-7.62(2H, m), 7.76 (1H, bs), 7.79(1H, d, J=5.1), 7.97(1H, s)
1.82	4-trfluoromethoxy-phenyl	A2(R^1=CF_3)	125-127	3.96(3H, s), 7.31(2H, d, J=8.8), 7.34(1H, d, J=5.9), 7.48(2H, d, J=8.8), 7.75(1H, bs), 7.80(1H, d, J=5.9), 7.99(1H, s)
1.83	4-methylthiophenyl	A2(R^1=CF_3)	154-155	2.52(3H, s), 3.97(3H, s), 7.25-7.39(5H, m), 7.80-7.82 (2H, m), 7.95(1H, s)
1.84	4-cyclopropylphenyl	A2(R^1=CF_3)		
1.85	4-methylethynyl-phenyl	A2(R^1=CF_3)		

Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.86	4-methylsulfinyl-phenyl	A2(R^1=CF_3)		
1.87	4-methylsulfonyl-phenyl	A2(R^1=CF_3)	237-238	3.09(3H, s), 3.99(3H, s), 7.41(1H, d, J=5.1), 7.67(2H, d, J=8.1), 7.76(1H, brs), 7.78(1H, d, J=5.1), 7.98(1H, s)7.99(2H, d, J=8.1)
1.88	3-cyanophenyl	A2(R^1=CF_3)		
1.89	4-acetylphenyl	A1(R^1=CF_3, R^2=Me)		
1.90	4-ethoxycarbonyl-phenyl	A2(R^1=CF_3)		
1.91	3-aminophenyl	A1(R^1=CF_3, R^2=Me)		
1.92	4-dimethylamino-phenyl	A2(R^1=CF_3)		
1.93	4-chlorophenyl	A1(R^1=CHF_2, R^2=Me)		
1.94	4-chlorophenyl	A1(R^1=CHF_2, R^2=H)		
1.95	4-chlorophenyl	A1(R^1=CF_3, R^2=H)		
1.96	phenyl	A5(R^1=Cl)	118-119	7.35-7.53(9H, m), 7.74-7.78(1H, m), 7.99(1H, d, J=5.1) 8.13(1H, brs)

Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (℃)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.97	phenyl	A6	167-170	7.35-7.54(7H, m), 7.97(1H, d, J=5.1), 8.23(1H, dd, J=7.3, 2.2), 8.45(1H, brs), 8.48(1H, dd, J=4.4, 2.2)
1.98	1-ethyl-1-propenyl	A2(R^1=CF_3)	semi-solid	0.97(3H, t, J=7.3), 1.82(3H, d, J=7.3), 2.39(2H, q, J=7.3), 3.98(3H, s), 5.66(1H, q, J=7.3), 7.17(1H, d, J=5.9), 7.86(1H, d, J=5.9), 8.04(1H, brs), 8.05(1H, s)
1.99	1-ethylthioethyl	A2(R^1=CF_3)		
1.100	3-chlorophnyl	A1(R^1=CHF_2, R^2=Me)		
1.101	3-chlorophenyl	A3(R^1=Me, R^2=H)		
1.102	3-chlorophenyl	A4(R^1=Me, n=0)		
1.103	3-chlorophenyl	A5(R^1=Me)		
1.104	3-chlorophenyl	A6		
1.105	3-chlorophenyl	A7		
1.106	3-tolyl	A2(R^1=CF_3)	98-101	2.38(3H, s), 3.96(3H, s), 7.18-7.36(5H, m), 7.86-7.88(2H, m), 7.96(1H, s)
1.107	3-tolyl	A1(R^1=CHF_2, R^2=Me)		
1.108	3-tolyl	A3(R^1=R^2=Me)		

Table 5 (continued)

Compound No.	R	Ar (substituent)	m. p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.109	3-tolyl	A4(R'=Me, n=0)		
1.110	3-tolyl	A5(R'=Cl)		
1.111	3-tolyl	A6		
1.112	3-tolyl	A7		
1.113	2-chlorophenyl	A2(R'=CF_3)	110-111	3.95(3H, s), 7.32-7.49(4H, m), 7.52(1H, d, J=7.3), 7.58 (1H, brs), 7.88(1H, d, J=5.1), 7.95(1H, s)
1.114	2-chlorophenyl	A1(R'=CF_3, R^2=Me)		
1.115	3-chlorophenyl	A3(R'=Me, R^2=H)		
1.116	2-chlorophenyl	A4(R'=Me, n=0)		
1.117	4-fluorophenyl	A1(R'=CF_3, R^2=Me)		
1.118	4-fluorophenyl	A2(R'=CF_3)	157-158	3.97(3H, s), 7.10-7.19(2H, m), 7.30(1H, d, J=5.1), 7.38-7.46(2H, m), 7.76(1H, brs), 7.81(1H, d, J=5.1), 7.98(1H, s)
1.119	3-fluorophenyl	A1(R'=CF_3, R^2=Me)		
1.120	3-fluorophenyl	A2(R'=CF_3)	122-124	3.97(3H, s), 7.05-7.11(1H, m), 7.14-7.19(1H, m), 7.23 (1H, d, J=7.3), 7.33(1H, d, J=5.9), 7.38-7.46(1H, m), 7.83(2H, d, J=5.9), 7.99(1H, s)

Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.121	2,4-dichlorophenyl	A2(R^1=CF_3)	155-157	3.95(3H, s), 7.31-7.38(2H, m), 7.40(1H, d, J=5.9), 7.52-7.55(2H, m), 7.83(1H, d, J=5.9), 7.95(1H, s)
1.122	2-fluorophenyl	A1(R^1=CF_3, R^2=Me)		
1.123	2-fluorophenyl	A2(R^1=CF_3)		
1.124	3,4-dichlorophenyl	A1(R^1=CF_3, R^2=Me)		
1.125	3,4-dichlorophenyl	A2(R^1=CF_3)	153-154	3.98(3H, s), 7.27-7.35(2H, m), 7.51(1H, d, J=8.1), 7.55 (1H, d, J=1.5), 7.76-7.78(2, m), 7.99(1H, s)
1.126	3,5-dichlorophenyl	A1(R^1=CF_3, R^2=Me)		
1.127	3,5-dichlorophenyl	A2(R^1=CF_3)	206-207	3.98(3H, s), 7.35-7.38(4H, m), 7.80-7.81(2H, m), 8.01 (1H, s)
1.128	3,4-difluorophenyl	A2(R^1=CF_3)	136-139	3.98(3H, s), 7.16-7.29(3H, m), 7.32(1H, d, J=5.1), 7.74 (1H, bs), 7.79(1H, d, J=5.1), 8.00(1H, s)
1.129	3,5-difluorophenyl	A2(R^1=CF_3)		
1.130	3,4-dimethylphenyl	A1(R^1=CF_3, R^2=Me)		
1.131	3,5-dimethylphenyl	A1(R^1=CF_3, R^2=Me)		
1.132	3,5-dimethylphenyl	A2(R^1=CF_3)	140-141	2.34(6H, s), 3.96(3H, s), 7.02(1H, s), 7.06(2H, s), 7.27 (1H, d, J=5.1), 7.87(1H, d, J=5.1), 7.95(1H, brs), 7.96 (1H, s)

Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.133	3-trifluoromethyl-phenyl	A2(R^1=CF_3)		
1.134	3-bromophenyl	A2(R^1=CF_3)		
1.135	3-iodophenyl	A2(R^1=CF_3)		
1.136	4-trifluoromethyl-thiophenyl	A2(R^1=CF_3)		
1.137	4-cyclopropylphenyl	A2(R^1=CF_3)		
1.138	4-ethynylphenyl	A2(R^1=CF_3)	semi-solid	2.17(1H, s), 3.97(3H, s), 7.29(2H, d, J=8.1), 7.31(1H, d, J=5.1), 7.56(2H, d, J=8.1), 7.64(1H, brs), 7.79(1H, d, J=5.1), 7.97(1H, s)
1.139	4-methylsulfinyl-phenyl	A2(R^1=CF_3)		
1.140	4-trfluoromethyl-sufonylphenyl	A2(R^1=CF_3)		
1.141	3,4,5-trichloro-phenyl	A2(R^1=CF_3)		
1.142	2-tolyl	A2(R^1=CF_3)	127-129	
1.143	3-fluoro-4-methyl-phenyl	A2(R^1=CF_3)	98-101	
1.144	4-fluoro-3-methyl-phenyl	A2(R^1=CF_3)	142-144	

Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.145	4-chloro-3-trifluoromethylphenyl	A2(R^1=CF_3)	180-182	
1.146	4-chloro-3-fluoro-phenyl	A2(R^1=CF_3)	126-128	
1.147	3-chloro-4-fluoro-phenyl	A2(R^1=CF_3)	149-150	
1.148	4-chloro-3-methyl-phenyl	A2(R^1=CF_3)	122-124	
1.149	2-isopropylphenyl	A2(R^1=CF_3)	110-112	
1.150	3-trfluoromethoxy-phenyl	A2(R^1=CF_3)	46-50	
1.151	2.5-dichlorophenyl	A2(R^1=CF_3)	128-129	
1.152	2-ethylphenyl	A2(R^1=CF_3)	111-113	
1.153	4-chloro-3-trifluoromethylphenyl	A1(R^1=CF_3, R^2=Me)	149-151	
1.154	4-trifluoromethyl-phenyl	A5(R^1=Cl)	180-181	
1.155	4-trifluoromethyl-phenyl	A6	169	

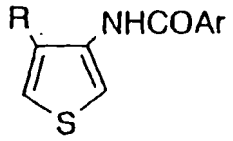
Table 5 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.156	4-trifluoromethyl-phenyl	A3(R^1=Me, R^2=H)	157-158	
1.157	4-trifluoromethyl-phenyl	A4(R^1=Me, n=0)	125-126	
1.158	3-methoxyphenyl	A2(R^1=CF_3)	109-111	
1.159	4-trifluoromethyl-phenyl	A8(R^1=Me)	173-174	
1.160	4-tolyl	A2(R^1=CF_3)	127-129	
1.161	1-methylpentyl	A2(R^1=CF_3)	87-89	0.85(3H, m), 1.30(6H, m), 1.58(3H, m), 2.97(1H, m), 3.98 (3H, s), 7.11(1H, d, J=5.2), 7.45(1H, d, J=5.2), 7.54 (1H, brs), 8.05(1H, s)
1.162	1-methylhexyl	A2(R^1=CF_3)	90-92	0.84(3H, m), 1.23-1.29(11H, m), 2.94(1H, m), 3.99 (3H, s), 7.11(1H, d, J=5.2), 7.45(1H, d, J=5.2), 7.54 (1H, brs), 8.05(1H, s)
1.163	1,4-dimethylpentyl	A2(R^1=CF_3)	78-80	0.83(6H, d, J=6.6), 1.12-1.64(8H, m), 2.91(1H, m), 3.99 (3H, s), 7.12(1H, d, J=5.1), 7.45(1H, d, J=5.1), 7.55 (1H, brs), 8.05(1H, s)
1.164	(1S)-1-methylpropyl	A4(R^1=Me, n=0)	oil	0.92(3H, t, J=7.3), 1.33(3H, d, J=7.3), 1.66(2H, m), 2.59(3H, s), 2.92(1H, m), 6.95(1H, d, J=5.1), 7.13(1H, d, J=5.9), 7.33(1H, d, J=5.1), 7.45(1H, d, J=5.1)

Table 5 (continued)

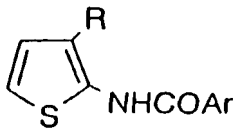
Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
1.165	(1S)-1-methylpropyl	A3(R^1=Me, R^2=H)	oil	0.91(3H, t, J=7.3), 1.31(3H, d, J=6.6), 1.65(2H, m), 2.63(3H, s), 2.91(1H, m), 6.51(1H, brs), 7.12(1H, d, J=5.1), 7.13(1H, brs), 7.30(1H, brs), 7.39(1H, brs)
1.166	(1S)-1-methylpropyl	A2(R^1=Me)	82.0-85.5	0.91(3H, t, J=7.3), 1.31(3H, d, J=6.6), 1.65(2H, m), 2.54(3H, s), 2.91(1H, m), 3.85(3H, s), 7.12(1H, d, J=5.9), 7.13(1H, brs), 7.41(1H, brs), 7.76(1H, brs)
1.167	(1S)-1-methylpropyl	A1(R^1=CF_3, R^2=Me)	oil	0.90(3H, t, J=7.3), 1.31(3H, d, J=6.6), 1.65(2H, m), 2.76(3H, s), 2.88(1H, m), 7.15(1H, d, J=5.1), 7.43(1H, d, J=5.1), 7.64(1H, brs)
1.168	1-methylpentyl	A1(R^1=CF_3, R^2=Me)		
1.169	1,3-dimethylpentyl	A7		
1.170	1,3-dimethylpentyl	A5(R^1=Cl)		

Table 6 (4-substituted acylamino-thiophene derivatives)



Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
2.1	1-methylpropyl	A1(R^1=CF_3, R^2=Me)		
2.2	sec-butyl	A2(R^1=CF_3)		
2.3	1,3-dimethylbutyl	A2(R^1=CF_3)		
2.4	1,3-dimethylbutyl	A1(R^1=CF_3, R^2=Me)		
2.5	1,2-dimethylbutyl	A2(R^1=CF_3)		
2.6	1,3-dimethylbutyl	A6		
2.7	4-tolyl	A1(R^1=CF_3, R^2=H)		
2.8	4-tolyl	A2(R^1=CF_3)		
2.9	4-chlorophenyl	A2(R^1=CF_3)		

Table 7 (3-substituted 2-acylaminothiophene derivatives)

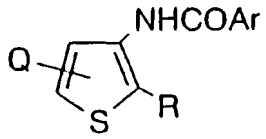


Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
3.1	phenyl	A2(R^1=CF_3)	141-142.5	3.97(3H, s), 6.97(1H, d, J=5.1), 7.00(1H, d, J=5.1), 7.36-7.52(5H, m), 8.03(1H, s), 8.56(1H, brs)
3.2	4-chlorophenyl	A2(R^1=CF_3)	166-167	3.98(3H, s), 6.93(1H, d, J=5.9), 7.01(1H, d, J=5.9), 7.34(2H, d, J=8.1), 7.45(2H, d, J=8.1), 8.04(1H, s), 8.46((1H, brs)
3.3	phenyl	A1(R^1=CF_3, R^2=Me)	oil	2.74(3H, s), 6.99(1H, d, J=5.1), 7.06(1H, d, J=5.1), 7.36-7.41(3H, m), 7.45-7.52(2H, m), 8.64(1H, brs)
3.4	3-chlorophenyl	A3		
3.5	4-chlorophenyl	A4(R^1=Me, n=0)		
3.6	2-chlorophenyl	A5		
3.7	3-chlorophenyl	A6		
3.8	4-chlorophenyl	A7		
3.9	4-tolyl	A2(R^1=CF_3)	153-155	2.41(3H, s), 3.96(3H, s), 6.94(1H, d, J=5.9), 6.99(1H, d, J=5.9), 7.29(4H, s), 8.02(1H, s), 8.67(1H, brs)

Table 7 (continued)

Compound No.	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
3.10	4-methoxyphenyl	A2(R^1=CF_3)	148-151	3.87(3H, s), 4.02(3H, s), 6.93(1H, d, J=5.1), 6.98-7.04 (3H, m), 7.32(2H, d, J=8.1), 8.02(1H, s), 8.51(1H, brs)
3.11	4-tolyl	A1(R^1=CF_3, R^2=H)		
3.12	4-methoxyphenyl	A1(R^1=CF_3, R^2=Me)	147-148	2.74(3H, s), 3.86(3H, s), 9.65(1H, d, J=5.1), 7.00-7.05 (3H, m), 7.32(2H, d, J=8.1), 8.58(1H, brs)
3.13	phenyl	A6	147-151	
3.14	phenyl	A5(R^1=Cl)	95-99	

Table 8 (2-substituted 3-acylaminothiophene derivatives)



Compound No.	Q	R	Ar (substituent)	m.p. (°C)	^{1}H-NMR (400MHz) ($CDCl_3$, δ-value)
4.1	5-methyl	phenyl	A2(R^1=CF_3)	151-152	
4.2	5-methyl	1,3-dimethylhexyl	A6		
4.3	5-methoxy	1,3-dimethylbutyl	A2(R^1=CF_3)		
4.4	5-methyl	3-chlorophenyl	A1(R^1=CF_3, R^2=H)		
4.5	4-chloro	4-tolyl	A2(R^1=CF_3)		
4.6	5-methyl	4-chlorophenyl	A2(R^1=CF_3)		

[0100] Next, formulation examples and test examples will be illustrated on the agricultural and horticultural fungicide of the invention.

Formulation Example 1 (dust formulation)

[0101] 100 Parts of dust formulation was prepared by uniformly grinding and mixing 3 parts of the compound having Compound No. 1.2, 20 parts of diatomaceous earth, 77 parts of clay.

Formulation Example 2 (wettable powder)

[0102] 100 Parts of wettable powder was prepared by uniformly grinding and mixing 25 parts of the compound having Compound No. 1.13, 72 parts of diatomaceous earth, 1 part of sodium ligninsulfonate and 2 parts of sodium alkylbenzenesulfonate.

Formulation Example 3 (wettable powder)

[0103] 100 Parts of wettable powder was prepared by uniformly grinding and mixing 50 parts of the compound having Compound No. 1.24, 30 parts of clay, 10 parts of white carbon, 5 parts of sodium laurylphosphate, and 5 parts of sodium alkylnaphthalenesulfonate.

Formulation Example 4 (wettable powder)

[0104] 100 Parts of wettable powder was prepared by uniformly grinding and mixing 50 parts of the compound having Compound No. 1.61, 10 parts of sodium ligninsulfonate, 5 parts of sodium alkylnaphthalenesulfonate. 10 parts of white carbon and 25 parts of diatomaceous earth.

Formulation Example 5 (emulsifiable concentrate)

[0105] 100 Parts of emulsifiable concentrate was prepared by uniformly dissolving and mixing 10 parts of the compound having Compound No. 1.77, 10 parts of cyclohexane, 60 parts of xylene and 20 parts of SCRPOL (surface active agent manufactured by Toho Chemical Co.).

Formulation Example 6 (flowable formulation)

[0106] 100 Parts of flowable formulation was prepared by wett-grinding with a sand grinder 40 parts of the compound having Compound No. 1.13, 3 parts of carboxymethylcellulose, 2 parts of sodium ligninsulfonate, 1 part of sodium dioctylsulfosuccinate, and 54 parts of water.

[0107] The compounds of the invention will hereinafter be illustrated the activity as an agricultural and horticultural fungicide by way of test examples.

Test Example 1

Control test (1) against gray mold of kidney beans

[0108] In a green house, two seedlings of kidney beans (cultivar: Top Crop) were grown in each plastic pot having a diameter of 7.5 cm until development of cotyledon.

[0109] A wettable powder which was prepared according to Formulation Example 3 was diluted to the prescribed concentration (active ingredient concentration of 200 ppm) and air dried after spraying on the seedlings with 50 ml portions per four pots.

[0110] A conidiospore suspension (1×10^5 spores/ml) was prepared from gray mold fungus (Botrytis cinerea, MBC resistant, RS strain) which was cultured on a PDA medium, and spray-inoculated on the cotyledon, and allowed to stay in a greenhouse at 20 - 23 °C for 7 days under relative humidity of 95 % or more.

[0111] After 7 days from the inoculation, the lesion area of gray mold per leaf of kidney beans was examined on the basis of the following index. Results are illustrated in Table 9. The numerical value in the Table shows a preventive value, and "-" means untested.

Severity 0 : no lesion
1 : lesion area is 5 % or less

2 : lesion area is 5 - 25 %
3 : lesion area is 25 - 50%
4 : lesion area is 50 % or more

[0112] The mean value of each treated area and untreated area is defined as severity.

Preventive value (%) = (1-severity in the treated area/severity in the untreated area) × 100

Test Example 2

Control test (2) against gray mold of kidney beans

[0113] In a green house, two seedlings of kidney beans (cultivar: Top Crop) were grown in each plastic pot having a diameter of 7.5 cm until development of cotyledon.

[0114] A wettable powder which was prepared according to Formulation Example 3 was diluted to the prescribed concentration (active ingredient concentration of 200 ppm) and air dried after spraying on the seedlings with 50 ml portions per four pots.

[0115] A conidiospore suspension (1×10^5 spores/ml) was prepared from gray mold (Botrytis cinerea, MBC resistant, dicarboximide resistant, RR strain) which was cultured on a PDA medium, and spray-inoculated on the cotyledon, and allowed to stay in a greenhouse at 20 - 23°C for 7 days under relative humidity of 95 % or more.

[0116] After 7 days from the inoculation, the lesion area of gray mold per leaf of kidney beans was examined on the basis of the following index. Results are illustrated in Table 9.

Severity 0 : no lesion
1 : lesion area is 5 % or less
2 : lesion area is 5 - 25 %
3 : lesion area is 25 - 50%
4 : lesion area is 50 % or more

[0117] The mean value of each treated area and untreated area is defined as severity.

Preventive value (%) = (1-severity in the treated area/severity in the untreated area)×100

Test Example 3

Control test against cucumber powdery mildew

[0118] In a green house, two seedlings of cucumber (cultivar: Sagami Hanjiro) were grown in each plastic pot having a diameter of 7.5 cm until the 1.5 leaf stage.

[0119] A wettable powder which was prepared according to Formulation Example 3 was diluted to the prescribed concentration (active ingredient concentration of 200 ppm) and air dried after spraying on the seedlings with 50 ml portions per three pots.

[0120] A conidiospore suspension (1×10^6 spores/ml) was prepared by suspending conidiospore of cucumber powdery mildew fungus in water which contains a small amount of spreader, and spray-inoculated on the leaf, and allowed to stay in a greenhouse for 7 days.

[0121] After 7 days from the inoculation, the lesion area of powdery mildew per leaf of cucumber was examined on the basis of the following index. Results are illustrated in Table 9.

Severity 0 : no lesion
1 : lesion area is 5 % or less
2 : lesion area is 5 - 25 %
3 : lesion area is 25 - 50%
4 : lesion area is 50 % or more

[0122] The mean value of each treated area and untreated area is defined as severity.

Preventive value (%) = (1-severity in the treated area/severity in the untreated area)×100

Test Example 4

Control test against wheat powdery mildew (EBI resistant strain)

[0123] In a green house, 15 - 20 seedlings of wheat (cultivar: Chihoku) were grown in each plastic pot having a diameter of 6 cm until the 1.5 leaf stage.

[0124] A wettable powder which was prepared according to Formulation Example 3 was diluted to the prescribed concentration (active ingredient concentration of 200 ppm) and air dried after spraying on the seedlings with 50 ml portions per three pots.

[0125] Thus treated seedlings were successively sprayed with conidiospore of wheat powdery mildew fungus (Erysiphe graminis f. sp. tritici, EBI resistant strain) and allowed to stand in a room at 18°C.

[0126] After 7 days from the inoculation, the lesion area of powdery mildew on the primary leaf of wheat was examined on the basis of the following index. Results are illustrated in Table 9.

Severity 0 : no lesion
1 : lesion area is 5 % or less
2 : lesion area is 5 - 25 %
3 : lesion area is 25 - 50%
4 : lesion area is 50 % or more

[0127] The mean value of each treated area and untreated area is defined as severity.

Preventive value (%) = (1-severity in the treated area/severity in the untreated area) × 100

Test Example 5

Control test against wheat brown rust

[0128] In a green house, 15 - 20 seedlings of wheat (cultivar: Norin NO. 64) were grown in each plastic pot having a diameter of 6 cm until the 1.5 leaf stage.

[0129] A wettable powder which was prepared according to Formulation Example 4 was diluted to the prescribed concentration (active ingredient concentration of 200 ppm) and air dried after spraying on the seedlings with 50 ml portions per three pots.

[0130] Thus treated seedlings were successively sprayed with summer spore of wheat brown rust fungus (Puccinia recondita), allowed to stand in a moist condition for 2 days and transfered to a room which was maintained at 18 °C.

[0131] After 10 days from the inoculation, the lesion area of red rust on the primary leaf of wheat was examined on the basis of the following index. Results are illustrated in Table 9.

Severity 0 : no lesion
1 : lesion area is 5 % or less
2 : lesion area is 5 - 25 %
3 : lesion area is 25 - 50%
4 : lesion area is 50 % or more

[0132] The mean value of each treated area and untreated area is defined as severity.

Preventive value (%) = (1-severity in the treated area/severity in the untreated area) × 100

Table 9

Compound No.	Example 1 Gray mold (RS strain)	Example 2 Gray mold (RR strain)	Example 3 Powdery mildew (EBI sensitive)	Example 4 Powdery mildew (EBI resistant)	Example 5 Rust (EBI sensitive)
1.1	100	100	100	100	100
1.2	100	100	100	100	100
1.4	100	100	100	100	100
1.5	100	100	100	30	50
1.6	100	100	100	100	100
1.7	100	100	100	100	100
1.8	100	100	100	100	100
1.10	100	100	100	100	100
1.13	100	100	100	100	100
1.21	80	100	100	100	-
1.23	100	100	100	100	100
1.24	100	100	100	100	100
1.33	50	45	100	100	100
1.36	100	100	100	100	100
1.41	100	100	100	100	100
1.60	100	100	100	100	83
1.61	100	100	100	100	100
1.62	100	100	100	100	83
1.64	100	100	43	33	45
1.65	100	100	90	83	83
1.67	100	100	100	100	100
1.68	100	100	100	100	47
1.69	100	100	90	90	33
1.71	100	100	40	33	100
1.75	100	100	100	100	100
1.76	90	90	100	100	83
1.77	100	100	100	100	100
1.78	100	100	100	100	90
1.79	100	100	100	100	30
1.80	100	100	100	100	100
1.81	100	100	100	100	100
1.82	100	100	100	100	33
1.83	100	100	30	30	90
1.87	90	90	30	30	33
1.96	80	70	40	40	90

Table 9 (continued)

Compound No.	Example 1 Gray mold (RS strain)	Example 2 Gray mold (RR strain)	Example 3 Powdery mildew (EBI sensitive)	Example 4 Powdery mildew (EBI resistant)	Example 5 Rust (EBI sensitive)
1.97	100	100	40	40	100
1.98	100	100	95	90	100
1.106	100	100	100	100	100
1.113	100	100	100	100	100
1.118	100	100	100	100	100
1.120	100	100	100	100	100
1.121	100	100	100	100	100
1.125	100	100	100	100	100
1.127	100	100	100	100	100
1.128	30	25	100	100	80
1.132	30	30	100	100	90
1.138	25	30	100	100	90
1.142	100	100	90	80	100
1.143	100	100	100	100	100
1.144	100	100	100	100	100
1.145	100	100	100	100	100
1.146	100	100	100	100	100
1.147	100	100	100	100	100
1.148	100	100	100	100	100
1.149	100	100	100	100	100
1.150	100	100	100	100	40
1.151	100	100	90	90	100
1.152	100	100	80	80	30
1.153	30	20	100	100	100
1.156	60	70	0	0	0
1.157	70	70	100	100	30
1.158	100	100	100	100	100
1.159	70	60	0	0	0
1.160	100	100	100	100	80
1.161	100	100	100	100	100
1.162	80	70	100	100	100
1.163	80	80	100	100	100
1.164	100	100	100	100	100
1.165	100	100	80	85	100
1.166	80	70	100	100	-
1.167	80	75	100	100	-

Table 9 (continued)

Compound No.	Example 1 Gray mold (RS strain)	Example 2 Gray mold (RR strain)	Example 3 Powdery mildew (EBI sensitive)	Example 4 Powdery mildew (EBI resistant)	Example 5 Rust (EBI sensitive)
3. 1	100	100	70	70	30
3.2	90	90	100	100	90
3.3	100	100	80	70	30
3.9	70	60	0	0	0
3.12	30	20	100	100	90
3.13	100	80	0	0	100
3.14	100	90	0	0	100
4.1	90	80	0	0	0
Ref.Comp No. 1	100	0	-	-	-
Ref.Comp No. 2	-	-	100	0	87
Ref.Comp No. 3	10	20	0	0	0
Ref.Comp No. 4	30	20	0	0	0

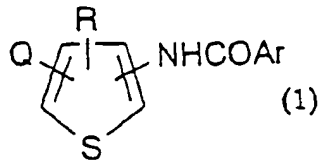
Reference Compound

[0133]

No.1 : procymidone; N-(3,5-dichlorophenyl)-1,2-dimethylcyclopropane-1,2-dicarboxamide
No.2 : triadimefon; 1-(4-chlorophenoxy)-3,3-dimethyl-1-(1,2,4-triazol-1-yl)-2-butanone
No.3 : N-(2-isopropylphenyl)-5-chloro-1,3-dimethylpyrazole-4-carboxamide
No.4 : N-(2-propylphenyl)-2-methyl-4-trifluoromethylthiazole-5-carboxamide

Claims

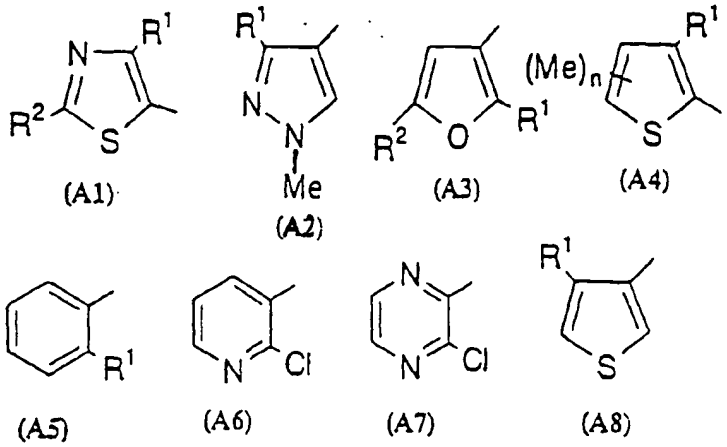
1. A substituted thiophene derivative represented by the general formula (1)



(1)

wherein Q is a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, methyl group, trifluoromethyl group, methoxy group, methylthio group, methylsulfonyl group, methylsulfoxy group, cyano group, acetyl group, nitro group, alkoxycarbonyl group or amino group ; R is a straight or branched alkyl group having 1 - 12 carbon atoms, straight or branched halogenoalkyl group having 1 - 12 carbon atoms, straight or branched alkenyl group having 2 - 10 carbon atoms, straight or branched halogenoalkenyl group having 2 - 10 carbon atoms, alkoxyalkyl group having 2 - 10 carbon atoms, alkylthioalkyl group having 2 - 10 carbon atoms, cycloalkyl group having 3 - 10 carbon atoms, halogen substituted cycloalkyl group having 3 - 10 carbon atoms, or a phenyl group which can be substituted with 1 - 3 substituents ; the substituent of said phenyl group is a hydrogen atom, alkyl group having 1 - 4 carbon atoms, alkenyl group having 2 - 4 carbon atoms, alkynyl group having 2 - 4 carbon atoms, cycloalkyl group having 3 - 6 carbon atoms, alkoxy group having 1 - 4 carbon atoms, halogenoalkoxy group having

1 - 4 carbon atoms, alkylthio group having 1 - 4 carbon atoms, alkylsulfoxy group having 1 - 4 carbon atoms, alkylsulfonyl group having 1 - 4 carbon atoms, halogen atom, cyano group, acyl group having 2 - 4 carbon atoms, alkoxycarbonyl group having 2 - 4 carbon atoms, amino group or amino group substituted with alkyl group having 1 - 3 carbon atoms : R and the group -NHCOAr are adjacent to each other ; and Ar is a group represented by (A1) to (A8) below :



wherein R^1 is a trifluoromethyl group, difluoromethyl group, methyl group, ethyl group, chlorine atom, bromine atom or iodine atom, R^2 is a hydrogen atom, methyl group, trifluoromethyl group or amino group, and n is an integer of 0 - 2.

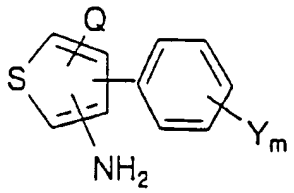
2. A substituted thiophene derivative according to claim 1 wherein Q is a hydrogen atom, R is a straight or branched alkyl group having 1 - 12 carbon atoms, straight or branched halogenoalkyl group having 1 - 12 carbon atoms, or cycloalkyl group having 3 - 10 carbon atoms.

3. A substituted thiophene derivative according to claim 2 wherein Ar is (A2).

4. A substituted thiophene derivative according to claim 3 wherein R is a branched alkyl group having 3 - 12 carbon atoms.

5. A substituted thiophene derivative according to claim 1 wherein Q is a hydrogen atom, R is a phenyl group which can be substituted with 1 - 3 substituents, and the substituent of said phenyl group is hydrogen atom, alkyl group having 1 - 4 carbon atoms, alkenyl group having 2 - 4 carbon atoms, alkynyl group having 2 - 4 carbon atoms, cycloalkyl group having 3 - 6 carbon atoms, alkoxy group having 1 - 4 carbon atoms, halogenoalkoxy group having 1 - 4 carbon atoms, alkylthio group having 1 - 4 carbon atoms, alkylsulfoxy group having 1 - 4 carbon atoms, alkylsulfonyl group having 1 - 4 carbon atoms, halogen atom, cyano group, acyl group having 2 - 4 carbon atoms, alkoxycarbonyl group having 2 - 4 carbon atoms, amino group, or amino group substituted with alkyl group having 1 - 3 carbon atoms.

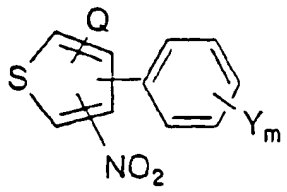
6. An amino thiophene derivative represented by the general formula (2):



(2)

wherein Q is a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, methyl group, trifluoromethyl group, methoxy group, methylthio group, methylsulfonyl group, methylsulfoxy group, cyano group, acetyl group, nitro group, alkoxycarbonyl group or amino group ; Y is a hydrogen atom, alkyl group having 1 - 4 carbon atoms, alkenyl group having 2 - 4 carbon atoms, alkynyl group having 2 - 4 carbon atoms, cycloalkyl group having 3 - 6 carbon atoms, alkoxy group having 1 - 4 carbon atoms, halogenoalkoxy group having 1 - 4 carbon atoms, atkylthio group having 1 - 4 carbon atoms, alkylsulfoxy group having 1 - 4 carbon atoms, alkylsulfonyl group having 1 - 4 carbon atom, halogen atom, cyano group, acyl group having 2 - 4 carbon atoms, alkoxycarbonyl group having 2 - 4 carbon atoms, amino group or amino group substituted with alkyl group having 1 - 3 carbon atoms, m is an integer of 1 - 3, and a phenyl group and amino group are adjacent to each other.

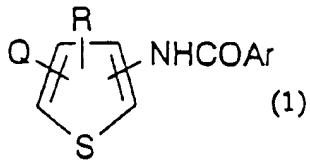
7. A nitrothiophene derivative represented by the general formula (3):



(3)

wherein Q is a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, methyl group, trifluoromethyl group, methoxy group, methylthio group, methylsulfonyl group, methylsulfoxy group, cyano group, acetyl group, nitro group, alkoxycarbonyl group or amino group, Y is a hydrogen atom, alkyl group having 1 - 4 carbon atoms, alkenyl group having 2 - 4 carbon atoms, alkynyl group having 2 - 4 carbon atoms, cycloalkyl group having 3 - 6 carbon atoms, alkoxy group having 1 - 4 carbon atoms, halogenoalkoxy group having 1 - 4 carbon atoms, alkylthio group having 1 - 4 carbon atoms, alkylsulfoxy group having 1 - 4 carbon atoms, alkylsulfonyl group having 1 - 4 carbon atoms, halogen atom, cyano group, acyl group having 2 - 4 carbon atoms, alkoxycarbonyl group having 2 - 4 carbon atoms, amino group or amino group substituted with alkyl group having 1 - 3 carbon atoms, m is an integer of 1 - 3, and a phenyl group and nitro group are adjacent to each other.

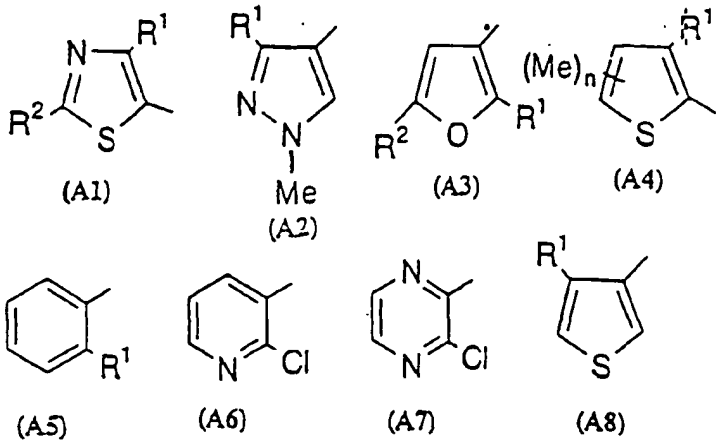
8. An agricultural and horticultural fungicide comprising, as an active ingredient, a substituted thiophene derivative represented by the general formula (1):



(1)

wherein Q is a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom, methyl group, trifluoromethyl group, methoxy group, methylthio group, methylsulfonyl group, methylsulfoxy group, cyano group, acetyl group, nitro group, alkoxycarbonyl group or amino group : R is a straight or branched alkyl group having 1 - 12 carbon atoms, straight or branched halogenoalkyl group having 1 - 12 carbon atoms. straight or branched alkenyl group having 2 - 10 carbon atoms, straight or branched halogenoalkenyl group having 2 - 10 carbon atoms, alkoxyalkyl group having 2 - 10 carbon atoms, alkylthioalkyl group having 2 - 10 carbon atoms, cycloalkyl group having 3 - 10 carbon atoms, halogen substituted cycloalkyl group having 3 - 10 carbon atoms, or a phenyl group which can be substituted with 1 - 3 substituents ; the substituent of said phenyl group is a hydrogen atom, alkyl group having 1 - 4 carbon atoms, alkenyl group having 2 - 4 carbon atoms, alkynyl group having 2 - 4 carbon atoms, cycloalkyl group having 3 - 6 carbon atoms, alkoxy group having 1 - 4 carbon atoms, halogenoalkoxy group having 1 - 4 carbon atoms, alkylthio group having 1 - 4 carbon atoms, alkylsulfoxy group having 1 - 4 carbon atoms, alkylsulfonyl group having 1 - 4 carbon atoms, halogen atom, cyano group, acyl group having 2 - 4 carbon atoms, alkoxycarbonyl group having 2 - 4 carbon atoms, amino group or amino group substituted with alkyl group having 1 - 3 carbon atoms : R and the group -NHCOAr are adjacent to each other ; and Ar is a group represented by (A1)

to (A8) below :



wherein R^1 is a trifluoromethyl group, difluoromethyl group, methyl group, ethyl group, chlorine atom, bromine atom or iodine atom, R^2 is a hydrogen atom, methyl group, trifluoromethyl group or amino group, and n is an integer of 0 - 2.

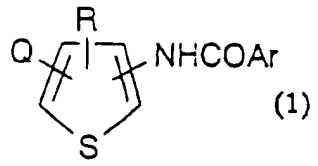
9. An agricultural and horticultural fungicide according to claim 8 wherein Q is a hydrogen tom, R is a straight or branched alkyl group having 1 - 12 carbon atoms, straight or branched halogenoalkyl group having 1 - 12 carbon atoms, or cycloalkyl group having 3 - 10 carbon atoms.

10. An agricultural and horticultural fungicide according to claim 9 wherein Ar is (A2).

11. An agricultural and horticultural fungicide according to claim 10 wherein R is a branched alkyl group having 3 - 12 carbon atoms.

12. An agricultural and horticultural fungicide according to claim 8 wherein Q is hydrogen atom, R is phenyl group which can be substituted with 1 - 3 substituents, and the substituent of said phenyl group is a hydrogent atom, alkyl group having 1 - 4 carbon atoms, alkenyl group having 2 - 4 carbon atoms, alkynyl group having 2 - 4 carbon atoms, cycloalkyl group having 3 - 6 carbon atoms, alkoxy group having 1 - 4 carbon atoms, halogenoalkoxy group having 1 - 4 carbon atoms, alkylthio group having 1 - 4 carbon atoms, alkylsulfoxy group having 1 - 4 carbon atoms, alkylsulfonyl group having 1 - 4 carbon atoms, halogen atom, cyano group, acyl group having 2 - 4 carbon atoms, alkoxycarbonyl group having 2 - 4 carbon atoms, amino group, or amino group substituted with alkyl group having 1 - 3 carbon atoms.

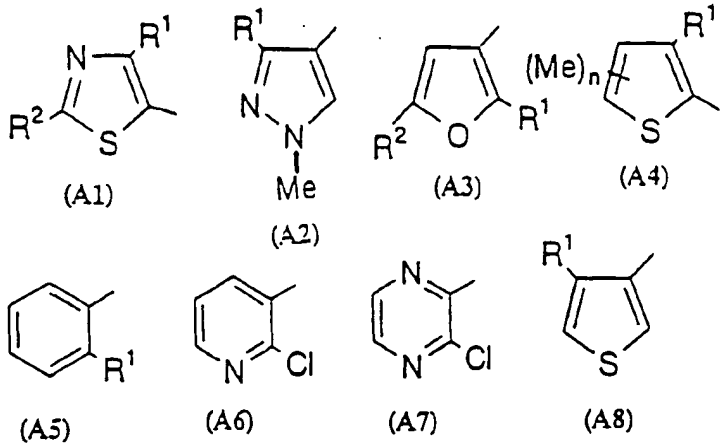
13. A method for controlling plant disease comprising using a substituted thiophene derivative represented by the general formula (1):



(1)

wherein Q is a hydrogen atom, fluorine atom, chlorine atom, bromine atom, iodine atom. methyl group, trifluoromethyl group, methoxy group, methylthio group, methylsulfonyl group, methylsulfoxy group, cyano group, acetyl group, nitro group, alkoxycarbonyl group or amino group ; R is a straight or branched alkyl group having 1 - 12 carbon atoms, straight or branched halogenoalkyl group having 1 - 12 carbon atoms, straight or branched alkenyl

group having 2 - 10 carbon atoms, straight or branched halogenoalkenyl group having 2 - 10 carbon atoms, alkoxyalkyl group having 2 - 10 carbon atoms, alkylthioalkyl group having 2 - 10 carbon atoms, cycloalkyl group having 3 - 10 carbon atoms, halogen substituted cycloalkyl group having 3 - 10 carbon atoms, or a phenyl group which can be substituted with 1 - 3 substituents ; the substituent of said phenyl group is a hydrogen atom, alkyl group having 1- 4 carbon atoms, alkenyl group having 2 - 4 carbon atoms, alkynyl group having 2 - 4 carbon atoms, cycloalkyl group having 3 - 6 carbon atoms, alkoxy group having 1 - 4 carbon atoms, halogenoalkoxy group having 1 - 4 carbon atoms, alkylthio group having 1 - 4 carbon atoms, alkylsulfoxy group having 1 - 4 carbon atoms, alkylsulfonyl group having 1 - 4 carbon atoms, halogen atom, cyano group, acyl group having 2 - 4 carbon atoms, alkoxycarbonyl group having 2 - 4 carbon atoms, amino group or amino group substituted with alkyl group having 1 - 3 carbon atoms ; R and the group -NHCOAr are adjacent to each other ; and Ar is a group represented by (A1) to (A8) below :



wherein R^1 is a trifluoromethyl group, difluoromethyl group, methyl group, ethyl group, chlorine atom, bromine atom or iodine atom, R^2 is a hydrogen atom, methyl group, trifluoromethyl group or amino group, and n is an integer of 0 - 2.

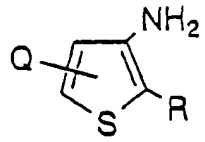
14. A method for controlling plant disease according to claim 13 wherein Q is a hydrogen atom, R is a straight or branched alkyl group having 1 - 12 carbon atoms, straight or branched halogenoalkyl group having 1 - 12 carbon atoms, or cycloalkyl group having 3 - 10 carbon atoms.

15. A method for controlling plant disease according to claim 14 wherein Ar is (A2).

16. A method for controlling plant disease according to claim 15 wherein R is a branched alkyl group having 3 - 12 carbon atoms.

17. A method for controlling plant disease according to claim 13 wherein Q is a hydrogen atom, R is a phenyl group which can be substituted with 1 - 3 substituents, and the substituent of said phenyl group is a hydrogen atom, alkyl group having 1 - 4 carbon atoms, alkenyl group having 2 - 4 carbon atoms, alkynyl group having 2 - 4 carbon atoms, cycloalkyl group having 3 - 6 carbon atoms, alkoxy group having 1 - 4 carbon atoms, halogenoalkoxy group having 1 - 4 carbon atoms, alkylthio group having 1 - 4 carbon atoms, alkylsulfoxy group having 1 - 4 carbon atoms, alkylsulfonyl group having 1 - 4 carbon atoms, halogen atom, cyano group, acyl group having 2 - 4 carbon atoms, alkoxycarbonyl group having 2 - 4 carbon atoms, amino group, or amino group substituted with alkyl group having 1 - 3 carbon atoms.

18. A 2-substituted-3-amino thiophene derivative represented by formula (8):

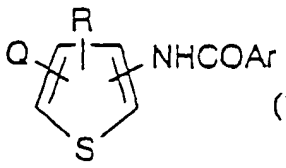


(8)

wherein Q is a hydrogen atom and R is a 1-methylbutyl group, 3-methylbutyl group, 1,3-dimethylbutyl group or 1,3-dimethylpentyl group.

Patentansprüche

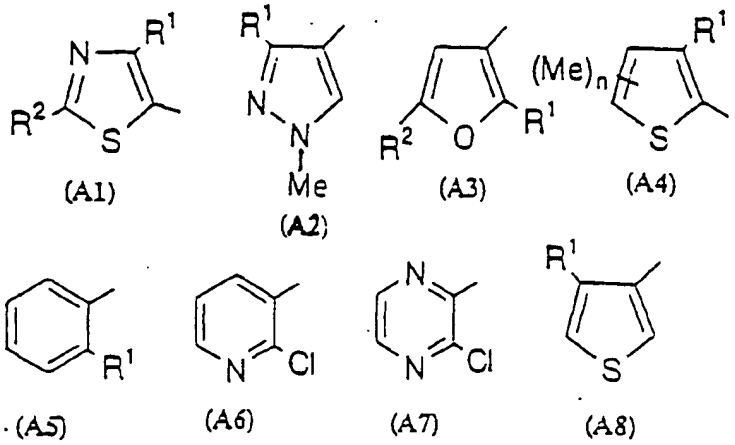
1. Substituiertes Thiophenderivat der allgemeinen Formel (1)



(1)

worin

Q ein Wasserstoffatom, Fluoratom, Chloratom, Bromatom, Jodatom, eine Methylgruppe, eine Trifluormethylgruppe, eine Methoxygruppe, eine Methylthiogruppe, eine Methylsulfonylgruppe, eine Methylsulfoxygruppe, eine Cyanogruppe, eine Acetylgruppe, eine Nitrogruppe, eine Alkoxycarbonylgruppe oder eine Aminogruppe bedeutet;
R eine geradkettige oder verzweigte Alkylgruppe mit 1 bis 12 Kohlenstoffatomen, eine geradkettige oder verzweigte Halogenalkylgruppe mit 1 bis 12 Kohlenstoffatomen, eine geradkettige oder verzweigte Alkenylgruppe mit 2 bis 10 Kohlenstoffatomen, eine geradkettige oder verzweigte Halogenalkenylgruppe mit 2 bis 10 Kohlenstoffatomen, eine Alkoxyalkylgruppe mit 2 bis 10 Kohlenstoffatomen, eine Alkylthioalkylgruppe mit 2 bis 10 Kohlenstoffatomen, eine Cycloalkylgruppe mit 3 bis 10 Kohlenstoffatomen, eine Halogen-substituierte Cycloalkylgruppe mit 3 bis 10 Kohlenstoffatomen oder eine Phenylgruppe, die mit 1 bis 3 Substituenten substituiert sein kann, wiedergibt; der Substituent an besagter Phenylgruppe ein Wasserstoffatom, eine Alkylgruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkenylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkinylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Cycloalkylgruppe mit 3 bis 6 Kohlenstoffatomen, eine Alkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Halogenalkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylthiogruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfonylgruppe mit 1 bis 4 Kohlenstoffatomen, ein Halogenatom, eine Cyanogruppe, eine Acylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkoxycarbonylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Aminogruppe oder eine Aminogruppe, substituiert mit einer Alkylgruppe mit 1 bis 3 Kohlenstoffatomen, ist;
R und die Gruppe -NHCOAr benachbart zueinander vorliegen; und
Ar eine Gruppe ist, dargestellt durch nachstehendes (A1) bis (A8):



worin R^1 eine Trifluormethylgruppe, eine Difluormethylgruppe, eine Methylgruppe, eine Ethylgruppe, ein Chloratom, ein Bromatom oder ein Jodatom bedeutet, R^2 ein Wasserstoffatom, eine Methylgruppe, eine Trifluormethylgruppe oder eine Aminogruppe wiedergibt und n für eine ganze Zahl von 0 bis 2 steht.

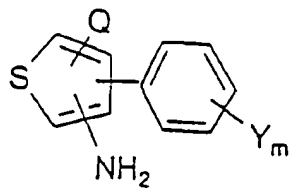
2. Substituiertes Thiophenderivat gemäß Anspruch 1, worin Q ein Wasserstoffatom ist, R eine geradkettige oder verzweigte Alkylgruppe mit 1 bis 12 Kohlenstoffatomen, eine geradkettige oder verzweigte Halogenalkylgruppe mit 1 bis 12 Kohlenstoffatomen oder eine Cycloalkylgruppe mit 3 bis 10 Kohlenstoffatomen bedeutet.

3. Substituiertes Thiophenderivat gemäß Anspruch 2, worin Ar für (A2) steht.

4. Substituiertes Thiophenderivat gemäß Anspruch 3, worin R eine verzweigte Alkylgruppe mit 3 bis 12 Kohlenstoffatomen ist.

5. Substituiertes Thiophenderivat gemäß Anspruch 1, worin Q ein Wasserstoffatom bedeutet, R eine Phenylgruppe, die mit 1 bis 3 Substituenten substituiert sein kann, bedeutet und der Substituent besagter Phenylgruppe ein Wasserstoffatom, eine Alkylgruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkenylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkinylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Cycloalkylgruppe mit 3 bis 6 Kohlenstoffatomen, eine Alkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Halogenalkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylthiogruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfonylgruppe mit 1 bis 4 Kohlenstoffatomen, ein Halogenatom, eine Cyanogruppe, eine Acylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkoxycarbonylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Aminogruppe oder eine Aminogruppe, substituiert mit einer Alkylgruppe mit 1 bis 3 Kohlenstoffatomen, ist.

6. Aminothiophenderivat der allgemeinen Formel (2):

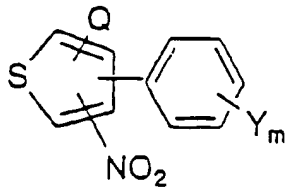


(2)

worin Q ein Wasserstoffatom, ein Fluoratom, ein Chloratom, ein Bromatom, ein Jodatom, eine Methylgruppe, eine Trifluormethylgruppe, eine Methoxygruppe, eine Methylthiogruppe, eine Methylsulfonylgruppe, eine Methylsulfoxygruppe, eine Cyanogruppe, eine Acetylgruppe, eine Nitrogruppe, eine Alkoxycarbonylgruppe oder eine Aminogruppe ist; Y ein Wasserstoffatom, eine Alkylgruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkenylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkinylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Cycloalkylgruppe mit 3 bis 6 Kohlenstoffatomen, eine Alkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Halogenalkoxygruppe mit 1 bis 4 Kohlen-

stoffatomen, eine Alkylthiogruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfonylgruppe mit 1 bis 4 Kohlenstoffatomen, ein Halogenatom, eine Cyanogruppe, eine Acylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkoxycarbonylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Aminogruppe oder eine Aminogruppe, substituiert mit einer Alkylgruppe mit 1 bis 3 Kohlenstoffatomen, bedeutet, m für eine ganze Zahl von 1 bis 3 steht und die Phenylgruppe und die Aminogruppe zueinander benachbart vorliegen.

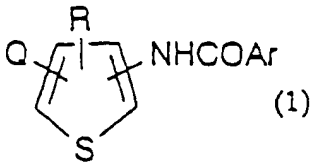
7. Nitrothiophenderivat der allgemeinen Formel (3):



(3)

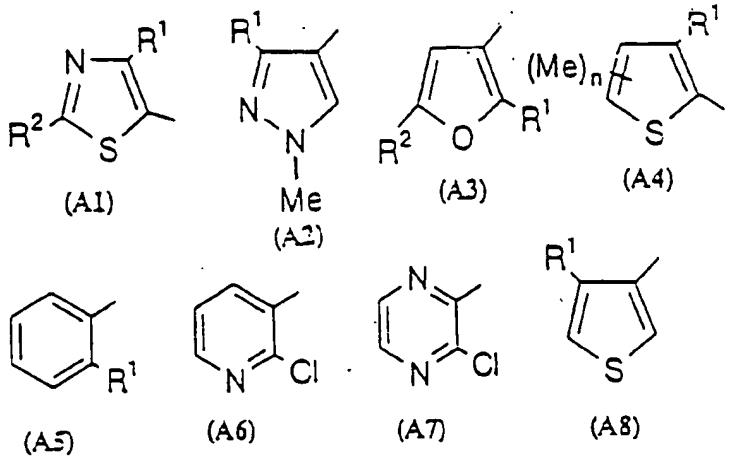
worin Q ein Wasserstoffatom, ein Fluoratom, ein Chloratom, ein Bromatom, ein Jodatom, eine Methylgruppe, eine Trifluormethylgruppe, eine Methoxygruppe, eine Methylthiogruppe, eine Methylsulfonylgruppe, eine Methylsulfoxygruppe, eine Cyanogruppe, eine Acetylgruppe, eine Nitrogruppe, eine Alkoxycarbonylgruppe oder eine Aminogruppe wiedergibt; Y ein Wasserstoffatom, eine Alkylgruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkenylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkinylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Cycloalkylgruppe mit 3 bis 6 Kohlenstoffatomen, eine Alkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Halogenalkoxygruppe mit 1 bis 4 Kohlenstoffatomen,eine Alkylthiogruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfonylgruppe mit 1 bis 4 Kohlenstoffatomen, ein Halogenatom, eine Cyanogruppe, eine Acylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkoxycarbonylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Aminogruppe oder eine Aminogruppe, substituiert mit einer Alkylgruppe mit 1 bis 3 Kohlenstoffatomen, bedeutet, m für eine ganze Zahl von 1 bis 3 steht und die Phenylgruppe und die Nitrogruppe zueinander benachbart vorliegen.

8. Fungizid für die Landwirtschaft und den Gartenbau, enthaltend als Wirkstoff ein substituiertes Thiophenderivat der allgemeinen Formel (1):



(1)

worin Q ein Wasserstoffatom, ein Fluoratom, ein Chloratom, ein Bromatom, ein Jodatom, eine Methylgruppe, eine Trifluormethylgruppe, eine Methoxygruppe, eine Methylthiogruppe, eine Methylsulfonylgruppe, eine Methylsulfoxygruppe, eine Cyanogruppe, eine Acetylgruppe, eine Nitrogruppe, eine Alkoxycarbonylgruppe oder eine Aminogruppe wiedergibt; R eine geradkettige oder verzweigte Alkylgruppe mit 1 bis 12 Kohlenstoffatomen, eine geradkettige oder verzweigte Halogenalkylgruppe mit 1 bis 12 Kohlenstoffatomen, eine geradkettige oder verzweigte Alkenylgruppe mit 2 bis 10 Kohlenstoffatomen, eine geradkettige oder verzweigte Halogenalkenylgruppe mit 2 bis 10 Kohlenstoffatomen, eine Alkoxyalkylgruppe mit 2 bis 10 Kohlenstoffatomen, eine Alkylthioalkylgruppe mit 2 bis 10 Kohlenstoffatomen, eine Cycloalkylgruppe mit 3 bis 10 Kohlenstoffatomen, eine Halogen-aubstituierte Cycloalkylgruppe mit 3 bis 10 Kohlenstoffatomen oder eine Phenylgruppe, die mit 1 bis 3 Substituenten substituiert sein kann, bedeutet; der Substituent besagter Phenylgruppe ein Wasserstoffatom, eine Alkylgruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkenylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkinylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Cycloalkylgruppe mit 3 bis 6 Kohlenstoffatomen, eine Alkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Halogenalkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylthiogruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfonylgruppe mit 1 bis 4 Kohlenstoffatomen, ein Halogenatom, eine Cyanogruppe, eine Acylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkoxycarbonylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Aminogruppe oder eine Aminogruppe, substituiert mit einer Alkylgruppe mit 1 bis 3 Kohlenstoffatomen, bedeutet; R und die Gruppe -NHCOAr zueinander benachbart vorliegen; und Ar eine durch nachstehendes (A1) bis (A8) dargestellte Gruppe



wiedergibt, worin R^1 eine Trifluormethylgruppe, eine Difluormethylgruppe, eine Methylgruppe, eine Ethylgruppe, ein Chloratom, ein Bromatom oder ein Jodatom bedeutet; R^2 ein Wasserstoffatom, eine Methylgruppe, eine Trifluormethylgruppe oder eine Aminogruppe ist und n eine ganze Zahl von 0 bis 2 wiedergibt.

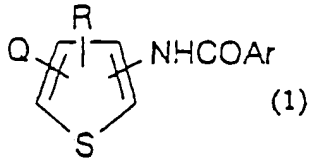
9. Fungizid für die Landwirtschaft und den Gartenbau gemäß Anspruch 8, worin Q ein Wasserstoffatom ist, R eine geradkettige oder verzweigte Alkylgruppe mit 1 bis 12 Kohlenstoffatomen, eine geradkettige oder verzweigte Halogenalkylgruppe mit 1 bis 12 Kohlenstoffatomen oder eine Cycloalkylgruppe mit 3 bis 10 Kohlenstoffatomen bedeutet.

10. Fungizid für die Landwirtschaft und den Gartenbau gemäß Anspruch 9, worin Ar für (A2) steht.

11. Fungizid für die Landwirtschaft und den Gartenbau gemäß Anspruch 10, worin R für eine verzweigte Alkylgruppe mit 3 bis 12 Kohlenstoffatomen steht.

12. Fungizid für die Landwirtschaft und den Gartenbau gemäß Anspruch 8, worin Q ein Wasserstoffatom ist, R für eine Phenylgruppe steht, die mit 1 bis 3 Substituenten substituiert sein kann, und der Substituent besagter Phenylgruppe ein Wasserstoffatom, eine Alkylgruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkenylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkinylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Cycloalkylgruppe mit 3 bis 6 Kohlenstoffatomen, eine Alkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Halogenalkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylthiogruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfonylgruppe mit 1 bis 4 Kohlenstoffatomen, ein Halogenatom, eine Cyanogruppe, eine Acylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkoxycarbonylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Aminogruppe oder eine Aminogruppe, substituiert mit einer Alkylgruppe mit 1 bis 3 Kohlenstoffatomen, bedeutet.

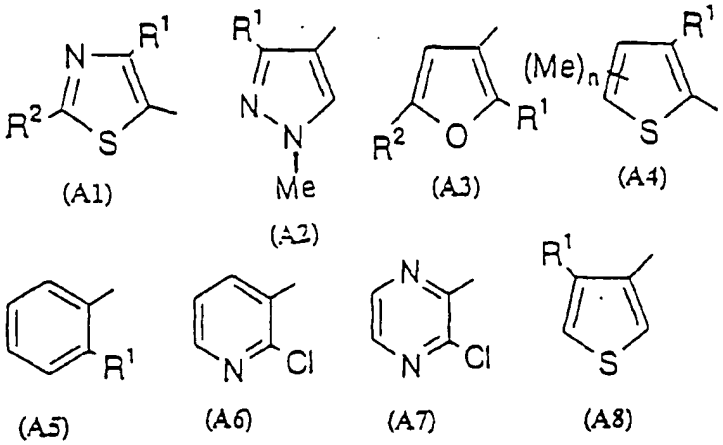
13. Verfahren zur Kontrolle von Pflanzenerkrankungen, umfassend die Verwendung eines substituierten Thiophenderivats der allgemeinen Formel (1):



(1)

worin Q ein Wasserstoffatom, ein Fluoratom, ein Chloratom, ein Bromatom, ein Jodatom, eine Methylgruppe, eine Trifluormethylgruppe, eine Methoxygruppe, eine Methylthiogruppe, eine Methylsulfonylgruppe, eine Methylsulfoxygruppe, eine Cyanogruppe, eine Acetylgruppe, eine Nitrogruppe, eine Alkoxycarbonylgruppe oder eine Aminogruppe wiedergibt; R eine geradkettige oder verzweigte Alkylgruppe mit 1 bis 12 Kohlenstoffatomen, eine geradkettige oder verzweigte Halogenalkylgruppe mit 1 bis 12 Kohlenstoffatomen, eine geradkettige oder verzweigte

Alkenylgruppe mit 2 bis 10 Kohlenstoffatomen, eine geradkettige oder verzweigte Halogenalkenylgruppe mit 2 bis 10 Kohlenstoffatomen, eine Alkoxyalkylgruppe mit 2 bis 10 Kohlenstoffatomen, eine Alkylthioalkylgruppe mit 2 bis 10 Kohlenstoffatomen, eine Cycloalkylgruppe mit 5 bis 10 Kohlenstoffatomen, eine Halogen-substituierte Cycloalkylgruppe mit 3 bis 10 Kohlenstoffatomen oder eine Phenylgruppe, die mit 1 bis 3 Substituenten substituiert sein kann, bedeutet; der Substituent besagter Phenylgruppe ein Wasserstoffatom, eine Alkylgruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkenylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkinylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Cycloalkylgruppe mit 3 bis 6 Kohlenstoffatomen, eine Alkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Halogenalkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylthiogruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfonylgruppe mit 1 bis 4 Kohlenstoffatomen, ein Halogenatom, eine Cyanogruppe, eine Acylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkoxycarbonylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Aminogruppe oder eine Aminogruppe, substituiert mit einer Alkylgruppe mit 1 bis 3 Kohlenstoffatomen, ist; R und die Gruppe -NHCOAr benachbart zueinander vorliegen; und Ar eine Gruppe ist, dargestellt durch nachstehendes (A1) bis (A8):



worin R^1 für eine Trifluormethylgruppe, eine Difluormethylgruppe, eine Methylgruppe, eine Ethylgruppe, ein Chloratom, ein Bromatom oder ein Jodatom steht; R^2 ein Wasserstoffatom, eine Methylgruppe, eine Trifluormethylgruppe oder eine Aminogruppe bedeutet und n für eine ganze Zahl von 0 bis 2 steht.

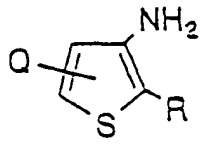
14. Verfahren zur Kontrolle von Pflanzenerkrankungen gemäß Anspruch 13, worin Q ein Wasserstoffatom ist, R eine geradkettige oder verzweigte Alkylgruppe mit 1 bis 12 Kohlenstoffatomen, eine geradkettige oder verzweigte Halogenalkylgruppe mit 1 bis 12 Kohlenstoffatomen oder eine Cycloalkylgruppe mit 3 bis 10 Kohlenstoffatomen bedeutet.

15. Verfahren zur Kontrolle von Pflanzenerkrankungen gemäß Anspruch 14, worin Ar für (A2) steht.

16. Verfahren zur Kontrolle von Pflanzenerkrankungen gemäß Anspruch 15, worin R eine verzweigte Alkylgruppe mit 3 bis 12 Kohlenstoffatomen wiedergibt.

17. Verfahren zur Kontrolle von Pflanzenerkrankungen gemäß Anspruch 13, worin Q für ein Wasserstoffatom steht, R eine Phenylgruppe bedeutet, die mit 1 bis 3 Substituenten substituiert sein kann, und der Substituent besagter Phenylgruppe ein Wasserstoffatom, eine Alkylgruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkenylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkinylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Cycloalkylgruppe mit 3 bis 6 Kohlenstoffatomen, eine Alkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Halogenalkoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylthiogruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfoxygruppe mit 1 bis 4 Kohlenstoffatomen, eine Alkylsulfonylgruppe mit 1 bis 4 Kohlenstoffatomen, ein Halogenatom, eine Cyanogruppe, eine Acylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Alkoxycarbonylgruppe mit 2 bis 4 Kohlenstoffatomen, eine Aminogruppe oder eine Aminogruppe, substituiert mit einer Alkylgruppe mit 1 bis 3 Kohlenstoffatomen, ist.

18. 2-subst.-3-Aminothiophenderivat der Formel (8)

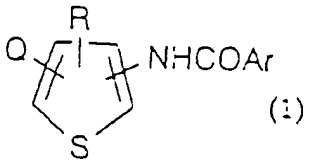


(8)

worin Q ein Wasserstoffatom ist und R für eine 1-Methylbutylgruppe, eine 3-Methylbutylgruppe, eine 1,3-Dimethylbutylgruppe oder eine 1,3-Dimethylpentylgruppe steht.

Revendications

1. Un dérivé de thiophène substitué représenté par la formule générale (1):



(1)

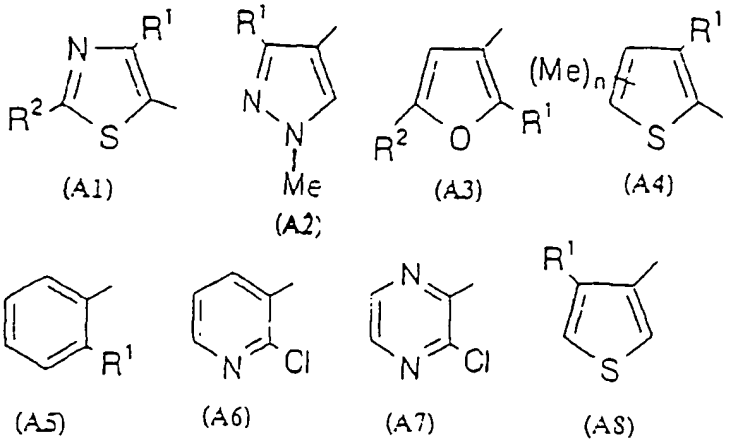
dans laquelle :

Q est un atome d'hydrogène, un atome de fluor, un atome de chlore, un atome de brome, un atome d'iode, un groupe méthyle, un groupe trifluorométhyle, un groupe méthoxy, un groupe méthylthio, un groupe méthylsulfonyle, un groupe méthylsulfoxy, un groupe cyano, un groupe acétyle, un groupe nitro, un groupe alcoxycarbonyle ou un groupe amino ;

R est un groupe alkyle, linéaire ou ramifié comportant 1 à 12 atomes de carbone, un groupe halogénoalkyle linéaire ou ramifié comportant 1 à 12 atomes de carbone, un groupe alcényle linéaire ou ramifié comportant 2 à 10 atomes de carbone, un groupe halogénoalcényle linéaire ou ramifié comportant 2 à 10 atomes de carbone, un groupe alcoxyalkyle comportant 2 à 10 atomes de carbone, un groupe alkylthioalkyle comportant 2 à 10 atomes de carbone, un groupe cycloalkyle comportant 3 à 10 atomes de carbone, un groupe cycloalkyle à substitution halogène comportant 3 à 10 atomes de carbone, ou un groupe phényle qui peut être substitué par un à trois substituants ; le substituant dudit groupe phényle est un atome d'hydrogène, un groupe alkyle comportant 1 à 4 atomes de carbone, un groupe alcényle comportant 2 à 4 atomes de carbone, un groupe alcynyle comportant 2 à 4 atomes de carbone, un groupe cycloalkyle comportant 3 à 6 atomes de carbone, un groupe alcoxy comportant 1 à 4 atomes de carbone, un groupe halogénoalcoxy comportant 1 à 4 atomes de carbone, un groupe alkylthio comportant 1 à 4 atomes de carbone, un groupe alkylsulfoxy comportant 1 à 4 atomes de carbone, un groupe alkylsulfonyle comportant 1 à 4 atomes de carbone, un atome d'halogène, un groupe cyano, un groupe acyle comportant 2 à 4 atomes de carbone, un groupe alcoxycarbonyle comportant 2 à 4 atomes de carbone, un groupe amino ou un groupe aminosubstitué par un groupe alkyle comportant 1 à 3 atomes de carbone ;

R et le groupe -NHCOAr sont adjacents l'un à l'autre ; et

Ar est un groupe représenté par (A1) à (A8) ci-dessous :



dans lesquels :

R^1 est un groupe trifluorométhyle, un groupe difluorométhyle, un groupe méthyle, un groupe éthyle, un atome de chlore, un atome de brome ou un atome d'iode ;

R^2 est un atome d'hydrogène, un groupe méthyle, un groupe trifluorométhyle ou un groupe amino ; et

n est un entier de 0 à 2.

2. Un dérivé de thiophène substitué selon la revendication 1, dans lequel :

Q est un atome d'hydrogène ;

R est un groupe alkyle linéaire ou ramifié comportant 1 à 12 atomes de carbone, un groupe halogénoalkyle linéaire ou ramifié comportant 1 à 12 atomes de carbone, ou un groupe cycloalkyle comportant 3 à 10 atomes de carbone.

3. Un dérivé de thiophène selon la revendication 2, dans lequel Ar est (A2).

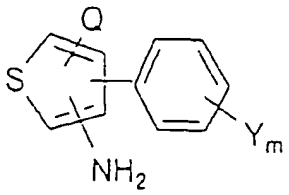
4. Un dérivé de thiophène substitué selon la revendication 3, dans lequel R est un groupe alkyle ramifié comportant 3 à 12 atomes de carbone.

5. Un dérivé de thiophène substitué selon la revendication 1, dans lequel :

Q est un atome d'hydrogène ;

R est un groupe phényle qui peut être substitué par 1 à 3 substituants, et les substituants dudit groupe phényle sont un atome d'hydrogène, un groupe alkyle comportant 1 à 4 atomes de carbone, un groupe alcényle comportant 2 à 4 atomes de carbone, un groupe alcynyle comportant 2 à 4 atomes de carbone, un groupe cycloalkyle comportant 3 à 6 atomes de carbone, un groupe alcoxy comportant 1 à 4 atomes de carbone, un groupe halogénoalcoxy comportant 1 à 4 atomes de carbone, un groupe alkylthio comportant 1 à 4 atomes de carbone, un groupe alkylsulfoxy comportant 1 à 4 atomes de carbone, un groupe alkylsulfonyle comportant 1 à 4 atomes de carbone, un atome d'halogène, un groupe cyano, un groupe acyle comportant 2 à 4 atomes de carbone, un groupe alcoxycarbonyle comportant 2 à 4 atomes de carbone, un groupe amino, ou un groupe amino substitué par un groupe alkyle comportant 1 à 3 atomes de carbone.

6. Un dérivé d'aminothiophène représenté par la formule générale (2) :



(2)

dans laquelle :

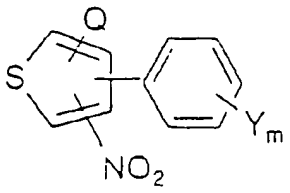
Q est un atome d'hydrogène, un atome de fluor, un atome de chlore, un atome de brome, un atome d'iode, un groupe méthyle, un groupe trifluorométhyle, un groupe méthoxy, un groupe méthylthio, un groupe méthylsulfonyle, un groupe méthylsulfoxy, un groupe cyano, un groupe acétyle, un groupe nitro, un groupe alcoxycarbonyle ou un groupe amino ;

Y est un atome d'hydrogène, un groupe alkyle comportant 1 à 4 atomes de carbone, un groupe alcényle comportant 2 à 4 atomes de carbone, un groupe alcynyle comportant 2 à 4 atomes de carbone, un groupe cycloalkyle comportant 3 à 6 atomes de carbone, un groupe alcoxy comportant 1 à 4 atomes de carbone, un groupe halogénoalcoxy comportant 1 à 4 atomes de carbone, un groupe alkylthio comportant 1 à 4 atomes de carbone, un groupe alkylsulfoxy comportant 1 à 4 atomes de carbone, un groupe alkylsulfonyle comportant 1 à 4 atomes de carbone, un atome d'halogène, un groupe cyano, un groupe acyle comportant 2 à 4 atomes de carbone, un groupe alcoxycarbonyle comportant 2 à 4 atomes de carbone, un groupe amino ou un groupe aminosubstitué par un groupe alkyle comportant 1 à 3 atomes de carbone ;

m est un entier de 1 à 3, et

un groupe phényle et un groupe amino sont adjacents l'un à l'autre.

7. Un dérivé de nitrothiophène représenté par la formule générale (3) :



(3)

dans laquelle :

Q est un atome d'hydrogène, un atome de fluor, un atome de chlore, un atome de brome, un atome d'iode, un groupe méthyle, un groupe trifluorométhyle, un groupe méthoxy, un groupe méthylthio, un groupe méthylsulfonyle, un groupe méthylsulfoxy, un groupe cyano, un groupe acétyle, un groupe nitro, un groupe alcoxycarbonyle ou un groupe amino ;

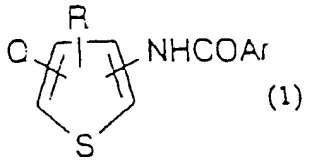
Y est un atome d'hydrogène, un groupe alkyle comportant 1 à 4 atomes de carbone, un groupe alcényle comportant 2 à 4 atomes de carbone, un groupe alcynyle comportant 2 à 4 atomes de carbone, un groupe cycloalkyle comportant 3 à 6 atomes de carbone, un groupe alcoxy comportant 1 à 4 atomes de carbone, un groupe halogénoalcoxy comportant 1 à 4 atomes de carbone, un groupe alkylthio comportant 1 à 4 atomes de carbone, un groupe alkylsulfoxy comportant 1 à 4 atomes de carbone, un groupe alkylsulfonyle comportant 1 à 4 atomes de carbone, un atome d'halogène, un groupe cyano, un groupe acyle comportant 2 à 4 atomes de carbone, un groupe alcoxycarbonyle comportant 2 à 4 atomes de carbone, un groupe amino ou un groupe aminosubstitué par un groupe alkyle comportant 1 à 3 atomes de carbone ;

m est un entier de 1 à 3, et

un groupe phényle et un groupe nitro sont adjacents l'un à l'autre.

8. Un fongicide agricole et horticole comprenant, en tant qu'ingrédient actif, un dérivé de thiophène substitué repré-

senté par la formule générale (1) :



(1)

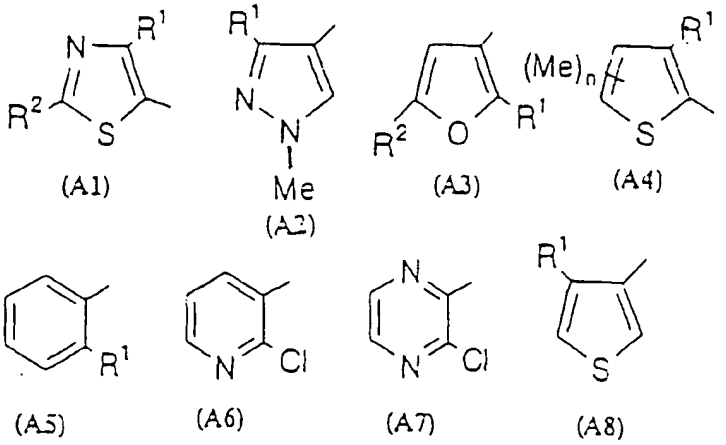
dans laquelle :

Q est un atome d'hydrogène, un atome de fluor, un atome de chlore, un atome de brome, un atome d'iode, un groupe méthyle, un groupe trifluorométhyle, un groupe méthoxy, un groupe méthylthio, un groupe méthylsulfonyle, un groupe méthylsulfoxy, un groupe cyano, un groupe acétyle, un groupe nitro, un groupe alcoxycarbonyle ou un groupe amino ;

R est un groupe alkyle, linéaire ou ramifié comportant 1 à 12 atomes de carbone, un groupe halogénoalkyle linéaire ou ramifié comportant 1 à 12 atomes de carbone, un groupe alcényle linéaire ou ramifié comportant 2 à 10 atomes de carbone, un groupe halogénoalcényle linéaire ou ramifié comportant 2 à 10 atomes de carbone, un groupe alcoxyalkyle comportant 2 à 10 atomes de carbone, un groupe alkylthioalkyle comportant 2 à 10 atomes de carbone, un groupe cycloalkyle comportant 3 à 10 atomes de carbone, un groupe cycloalkyle à substitution halogène comportant 3 à 10 atomes de carbone, ou un groupe phényle qui peut être substitué par un à trois substituants ; le substituant dudit groupe phényle est un atome d'hydrogène, un groupe alkyle comportant 1 à 4 atomes de carbone, un groupe alcényle comportant 2 à 4 atomes de carbone, un groupe alcényle comportant 2 à 4 atomes de carbone, un groupe alcynyle comportant 2 à 4 atomes de carbone, un groupe cycloalkyle comportant 3 à 6 atomes de carbone, un groupe alcoxy comportant 1 à 4 atomes de carbone, un groupe halogénoalcoxy comportant 1 à 4 atomes de carbone, un groupe alkylthio comportant 1 à 4 atomes de carbone, un groupe alkylsulfoxy comportant 1 à 4 atomes de carbone, un groupe alkylsulfonyle comportant 1 à 4 atomes de carbone, un atome d'halogène, un groupe cyano, un groupe acyle comportant 2 à 4 atomes de carbone, un groupe alcoxycarbonyle comportant 2 à 4 atomes de carbone, un groupe amino ou un groupe aminosubstitué par un groupe alkyle comportant 1 à 3 atomes de carbone ;

R et le groupe -NHCOAr sont adjacents l'un à l'autre ; et

Ar est un groupe représenté par (A1) à (A8) ci-dessous :



(A1) (A2) (A3) (A4)

(A5) (A6) (A7) (A8)

dans lesquels :

R^1 est un groupe trifluorométhyle, un groupe difluorométhyle, un groupe méthyle, un groupe éthyle, un atome de chlore, un atome de brome ou un atome d'iode ;

R^2 est un atome d'hydrogène, un groupe méthyle, un groupe trifluorométhyle ou un groupe amino ; et

n est un entier de 0 à 2.

9. Un fongicide agricole et horticole selon la revendication 8, dans lequel :

   Q est un atome d'hydrogène ;
   R est un groupe alkyle linéaire ou ramifié comportant 1 à 12 atomes de carbone, un groupe halogénoalkyle linéaire ou ramifié comportant 1 à 12 atomes de carbone, ou un groupe cycloalkyle comportant 3 à 10 atomes de carbone.

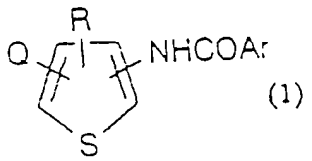
10. Un fongicide agricole et horticole selon la revendication 9, dans lequel Ar est (A2).

11. Un fongicide agricole et horticole selon la revendication 10, dans lequel R est un groupe alkyle ramifié comportant 3 à 12 atomes de carbone.

12. Un fongicide agricole et horticole selon la revendication 8, dans lequel :

   Q est un atome d'hydrogène ;
   R est un groupe phényle qui peut être substitué par 1 à 3 substituants, et les substituants dudit groupe phényle sont un atome d'hydrogène, un groupe alkyle comportant 1 à 4 atomes de carbone, un groupe alcényle comportant 2 à 4 atomes de carbone, un groupe alcynyle comportant 2 à 4 atomes de carbone, un groupe cycloalkyle comportant 3 à 6 atomes de carbone, un groupe alcoxy comportant 1 à 4 atomes de carbone, un groupe halogénoalcoxy comportant 1 à 4 atomes de carbone, un groupe alkylthio comportant 1 à 4 atomes de carbone, un groupe alkylsulfoxy comportant 1 à 4 atomes de carbone, un groupe alkylsulfonyle comportant 1 à 4 atomes de carbone, un atome d'halogène, un groupe cyano, un groupe acyle comportant 2 à 4 atomes de carbone, un groupe alcoxycarbonyle comportant 2 à 4 atomes de carbone, un groupe amino, ou un groupe amino substitué par un groupe alkyle comportant 1 à 3 atomes de carbone.

13. Un procédé de contrôle de maladies de plante comprenant l'utilisation d'un dérivé de thiophène substitué représenté par la formule générale (1) :

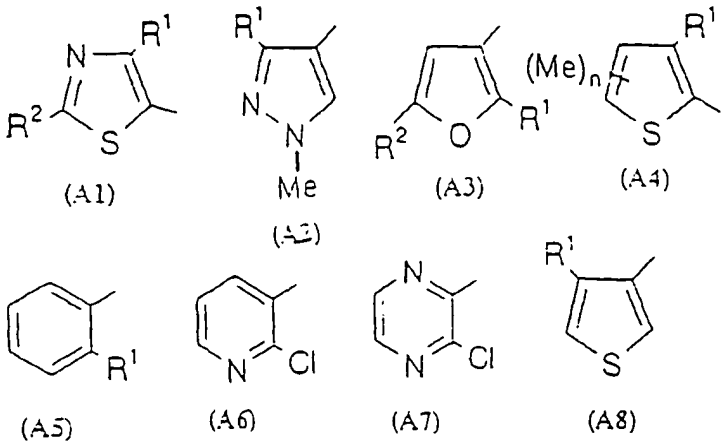


(1)

dans lequel :

   Q est un atome d'hydrogène, un atome de fluor, un atome de chlore, un atome de brome, un atome d'iode, un groupe méthyle, un groupe trifluorométhyle, un groupe méthoxy, un groupe méthylthio, un groupe méthylsulfonyle, un groupe méthylsulfoxy, un groupe cyano, un groupe acétyle, un groupe nitro, un groupe alcoxycarbonyle ou un groupe amino ;
   R est un groupe alkyle, linéaire ou ramifié comportant 1 à 12 atomes de carbone, un groupe halogénoalkyle linéaire ou ramifié comportant 1 à 12 atomes de carbone, un groupe alcényle linéaire ou ramifié comportant 2 à 10 atomes de carbone, un groupe halogénoalcényle linéaire ou ramifié comportant 2 à 10 atomes de carbone, un groupe alcoxyalkyle comportant 2 à 10 atomes de carbone, un groupe alkylthioalkyle comportant 2 à 10 atomes de carbone, un groupe cycloalkyle comportant 3 à 10 atomes de carbone, un groupe cycloalkyle à substitution halogène comportant 3 à 10 atomes de carbone, ou un groupe phényle qui peut être substitué par un à trois substituants ; le substituant dudit groupe phényle est un atome d'hydrogène, un groupe alkyle comportant 1 à 4 atomes de carbone, un groupe alcényle comportant 2 à 4 atomes de carbone, un groupe alcynyle comportant 2 à 4 atomes de carbone, un groupe cycloalkyle comportant 3 à 6 atomes de carbone, un groupe alcoxy comportant 1 à 4 atomes de carbone, un groupe halogénoalcoxy comportant 1 à 4 atomes de carbone, un groupe alkylthio comportant 1 à 4 atomes de carbone, un groupe alkylsulfoxy comportant 1 à 4 atomes de carbone, un groupe alkylsulfonyle comportant 1 à 4 atomes de carbone, un atome d'halogène, un groupe cyano, un groupe acyle comportant 2 à 4 atomes de carbone, un groupe alcoxycarbonyle comportant 2 à 4 atomes de carbone, un groupe amino ou un groupe aminosubstitué par un groupe alkyle comportant 1 à 3 atomes de carbone ;

R et le groupe -NHCOAr sont adjacents l'un à l'autre ; et
Ar est un groupe représenté par (A1) à (A8) ci-dessous :



dans lesquels :
R^1 est un groupe trifluorométhyle, un groupe difluorométhyle, un groupe méthyle, un groupe éthyle, un atome de chlore, un atome de brome ou un atome d'iode ;
R^2 est un atome d'hydrogène, un groupe méthyle, un groupe trifluorométhyle ou un groupe amino ; et
n est un entier de 0 à 2.

14. Un procédé de contrôle de maladies de plante selon la revendication 13, dans lequel :

Q est un atome d'hydrogène ;
R est un groupe alkyle linéaire ou ramifié comportant 1 à 12 atomes de carbone, un groupe halogénoalkyle linéaire ou ramifié comportant 1 à 12 atomes de carbone, ou un groupe cycloalkyle comportant 3 à 10 atomes de carbone.

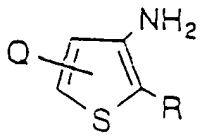
15. Un procédé pour contrôler les maladies des plantes selon la revendication 14, dans lequel Ar est (A2).

16. Un procédé pour contrôler les maladies des plantes selon la revendication 15, dans lequel R est un groupe alkyle ramifié comportant 3 à 12 atomes de carbone.

17. Un procédé pour contrôler les maladies des plantes selon la revendication 13, dans lequel :

Q est un atome d'hydrogène ;
R est un groupe phényle qui peut être substitué par 1 à 3 substituants, et les substituants dudit groupe phényle sont un atome d'hydrogène, un groupe alkyle comportant 1 à 4 atomes de carbone, un groupe alcényle comportant 2 à 4 atomes de carbone, un groupe alcynyle comportant 2 à 4 atomes de carbone, un groupe cycloalkyle comportant 3 à 6 atomes de carbone, un groupe alcoxy comportant 1 à 4 atomes de carbone, un groupe halogénoalcoxy comportant 1 à 4 atomes de carbone, un groupe alkylthio comportant 1 à 4 atomes de carbone, un groupe alkylsulfoxy comportant 1 à 4 atomes de carbone, un groupe alkylsulfonyle comportant 1 à 4 atomes de carbone, un atome d'halogène, un groupe cyano, un groupe acyle comportant 2 à 4 atomes de carbone, un groupe alcoxycarbonyle comportant 2 à 4 atomes de carbone, un groupe amino, ou un groupe amino substitué par un groupe alkyle comportant 1 à 3 atomes de carbone.

18. Un dérivé de thiophène substitué en 2-aminé en 3 représenté par la formule (8) :



(8)

dans laquelle :

Q est un hydrogène ; et
R est un groupe 1-méthylbutyle, 3-méthylbutyle, 1,3-diméthylbutyle ou 1,3-diméthylpentyle.